Title of Invention: Process for Preparing Sulfonamide Containing Indole Compounds

Inventors (please provide full names): Kenji Hayashi, Taichi Abe, Naoki Ozeki & Hiroshi Akamatsu

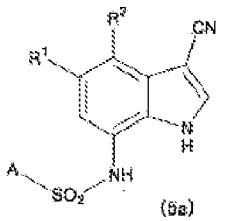
Earliest Priority Date: 09/10/05

Search Topic:

Please search claims 1 & 2.

CLAIMS

1. A process for preparing a compound (5a) represented by the following formula:



(5a)

wherein R^1 and R^2 each independently represent hydrogen, C_{1-6} alkyl or halogen, and A represents cyanophenyl, aminosulfonylphenyl, aminopyridyl, aminopyrimidyl, halogenopyridyl or cyanothiophenyl, characterized by reacting a compound (3a) represented by the following formula:

FILE 'REGISTRY' ENTERED AT 11:53:03 ON 05 SEP 2008
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Property values tagged with IC are from the ZIC/VINITI data file
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STRUCTURE FILE UPDATES: 4 SEP 2008 HIGHEST RN 1046534-52-4
DICTIONARY FILE UPDATES: 4 SEP 2008 HIGHEST RN 1046534-52-4

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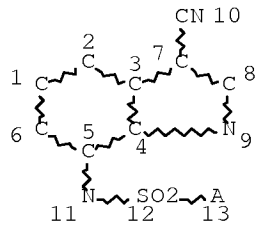
experimental property data in the original document. For information
on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

L1 STR



NODE ATTRIBUTES:
NSPEC IS RC AT 13
CONNECT IS X2 RC AT 6
CONNECT IS X2 RC AT 8
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 13

STEREO ATTRIBUTES: NONE
L2 37 SEA FILE=REGISTRY SSS FUL L1

100.0% PROCESSED 319 ITERATIONS 37 ANSWERS
SEARCH TIME: 00.00.01

FILE 'CAPLUS' ENTERED AT 11:53:09 ON 05 SEP 2008
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FILE COVERS 1907 - 5 Sep 2008 VOL 149 ISS 11
FILE LAST UPDATED: 4 Sep 2008 (20080904/ED)

Caplus now includes complete International Patent Classification (IPC) reclassification data for the second quarter of 2008.

Effective October 17, 2005, revised CAS Information Use Policies apply. They are available for your review at:

http://www.cas.org/legal/infopolicy.html

L3 11 L2/P

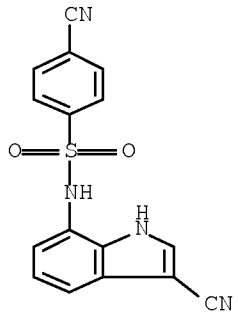
L3 ANSWER 1 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2006:1217188 CAPLUS Full-text
DOCUMENT NUMBER: 146:134591
TITLE: Chemistry and biology of a series of antitumor sulfonamides: exploiting transcriptomic and quantitative proteomic analyses for exploring drug gable chemical space
AUTHOR(S): Owa, Takashi
CORPORATE SOURCE: Discovery Res. Lab. II, Eisai Co., Ltd., 5-1-3 Tokodai, Tsukuba, Ibaraki, 300-2635, Japan
SOURCE: Yuki Gosei Kagaku Kyokaishi (2006), 64(11), 1171-1179
CODEN: YGKKAE; ISSN: 0037-9980
PUBLISHER: Yuki Gosei Kagaku Kyokai
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Sulfolnamide-focused compound libraries have been synthesized in our labs. for biol. evaluation using antitumor phenotypic screens such as cancer cell proliferation assay, flow cytometric cell cycle anal., and rat aorta tube formation assay. Among thousands of sulfonamide compds. evaluated, E7010 (a microtubule depolymg. agent), E7070 (a G1 phase cell cycle inhibitor), and E7820 (an antiangiogenesis agent) have progressed to clin. trials, thereby demonstrating some objective responses in cancer patients so far. The sequential discovery of these drug candidates allowed us to carry out a research approach of forward chemical genetics, in which phenotypically bioactive compds. are selected from a large collection of small mols. and then utilized for understanding the functions of their protein partners and relevant biol. pathways via target identification. This paper describes our attempt using oligonucleotide microarray and quant. proteomic analyses not only for identifying drug targets and downstream pathways applicable to biomarkers but also for exploring drug gable chemical space in medicinal chemical research.

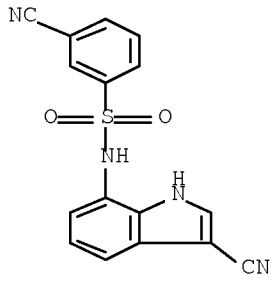
IT 165668-50-8P 165668-72-4P 247186-89-6P
247186-90-9P 247186-92-1P 247186-94-3P
289483-69-8P, E7820
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU

(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(Chemical and biol. of a series of antitumor sulfonamides: exploiting transcriptomic and quant. proteomic analyses for exploring drug gable chemical space)

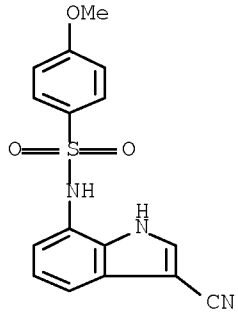
RN 165668-50-8 CAPLUS
CN Benzenesulfonamide, 4-cyano-N-(3-cyano-1H-indol-7-yl)- (CA INDEX NAME)



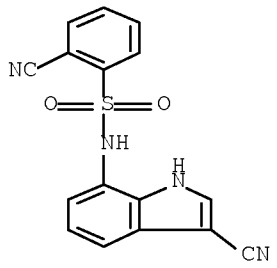
RN 165668-72-4 CAPLUS
CN Benzenesulfonamide, 3-cyano-N-(3-cyano-1H-indol-7-yl)- (CA INDEX NAME)



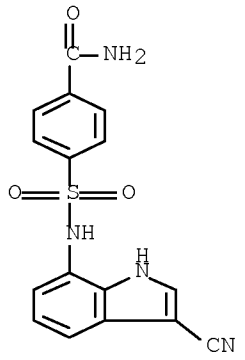
RN 247186-89-6 CAPLUS
CN Benzenesulfonamide, N-(3-cyano-1H-indol-7-yl)-4-methoxy- (CA INDEX NAME)



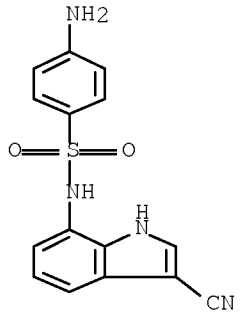
RN 247186-90-9 CAPLUS
CN Benzenesulfonamide, 2-cyano-N-(3-cyano-1H-indol-7-yl)- (CA INDEX NAME)



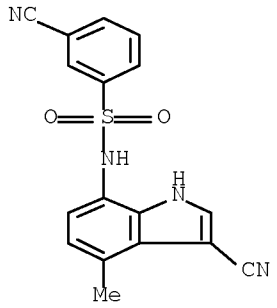
RN 247186-92-1 CAPLUS
CN Benzamide, 4-[[(3-cyano-1H-indol-7-yl)amino]sulfonyl]- (CA INDEX NAME)



RN 247186-94-3 CAPLUS
CN Benzenesulfonamide, 4-amino-N-(3-cyano-1H-indol-7-yl)- (CA INDEX NAME)



RN 289483-69-8 CAPLUS
CN Benzenesulfonamide, 3-cyano-N-(3-cyano-4-methyl-1H-indol-7-yl)- (CA INDEX NAME)

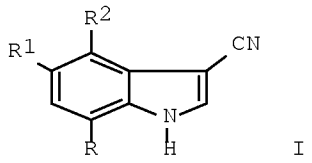


REFERENCE COUNT: 51 THERE ARE 51 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 2 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:260024 CAPLUS Full-text
DOCUMENT NUMBER: 142:336244
TITLE: Method for producing sulfonamide-containing indole derivatives
INVENTOR(S): Hayashi, Kenji; Abe, Taichi; Ozeki, Naoki; Akamatsu, Hiroshi
PATENT ASSIGNEE(S): Eisai Co., Ltd., Japan
SOURCE: PCT Int. Appl., 23 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005026119	A1	20050324	WO 2004-JP12650	20040901
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 20070037854	A1	20070215	US 2006-571285	20060309
PRIORITY APPLN. INFO.:			JP 2003-318974 A	20030910
			WO 2004-JP12650 W	20040901

OTHER SOURCE(S): CASREACT 142:336244; MARPAT 142:336244
GI



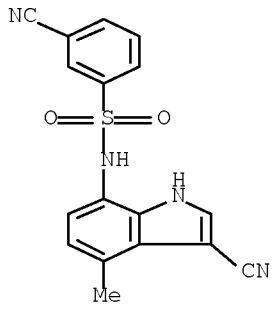
I

AB Disclosed is a method for producing a compound I [R1 and R2 independently represent a hydrogen atom, a C1-4 alkyl group or the like; R represents ASO2NH; A represents a cyanophenyl group or the like] which is characterized by reacting a compound I (wherein R1 and R2 independently represent a hydrogen atom, a C1-4 alkyl group or the like; R represents NH2) with a compound represented by ASO2Cl (A represents a cyanophenyl group or the like) in a mixed solvent of water and an acetic acid C1-6 alkyl ester in the presence of a base. The title compds. are useful as antitumor agents (no data). Thus, a mixture of 7-amino-3-cyano-4-methyl-1H-indole and 3-cyanobenzenesulfonyl chloride in Me acetate and water containing pyridine was stirred for 2 h 40 min to give, after workup, N-(3-cyano-4-methyl-1H-indol-7-yl)-3-cyanobenzenesulfonamide.

IT 289483-69-8P
RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(method for producing sulfonamide-containing indole derivs. as antitumor agents)

RN 289483-69-8 CAPLUS

CN Benzenesulfonamide, 3-cyano-N-(3-cyano-4-methyl-1H-indol-7-yl)- (CA INDEX NAME)



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 3 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:260023 CAPLUS Full-text

DOCUMENT NUMBER: 142:341835

TITLE: Preparation of crystals of N-(3-cyano-4-methyl-1H-indol-7-yl)-3-cyanobenzenesulfonamide

INVENTOR(S): Takahashi, Keiko; Hayashi, Kenji; Abe, Taichi; Omae, Takao; Kato, Takashi

PATENT ASSIGNEE(S): Eisai Co., Ltd., Japan

SOURCE: PCT Int. Appl., 47 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005026118	A1	20050324	WO 2004-JP12649	20040901
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004272400	A1	20050324	AU 2004-272400	20040901
CA 2536995	A1	20050324	CA 2004-2536995	20040901
EP 1666463	A1	20060607	EP 2004-772605	20040901
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
CN 1849305	A	20061018	CN 2004-80026069	20040901
BR 2004014314	A	20061031	BR 2004-14314	20040901
CN 101165049	A	20080423	CN 2007-10166794	20040901
MX 2006PA02732	A	20060605	MX 2006-PA2732	20060309
NO 2006001545	A	20060609	NO 2006-1545	20060405
IN 2006CN01232	A	20070810	IN 2006-CN1232	20060407
US 20070082941	A1	20070412	US 2006-571279	20061226

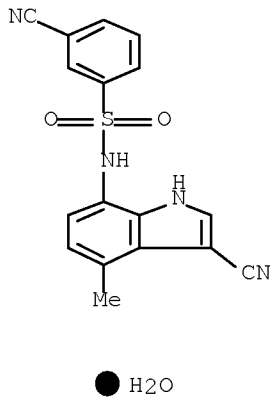
PRIORITY APPLN. INFO.:		JP 2003-318953	A	20030910
		CN 2004-80026069	A3	20040901
		WO 2004-JP12649	W	20040901

AB Claimed are the title crystals. The title compound is an antitumor agent (no data). When examined by X-ray powder diffractometry, the above crystals have a diffraction peak at the diffraction angle (2θ±0.2°)19.1°. Crystals of this invention showed high photostability. Formulations containing crystals of this invention are given.

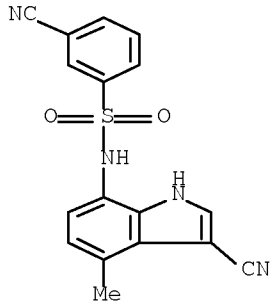
IT 848406-39-3P
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation) (crystal structure; preparation of N-(3-cyano-4-methyl-1H-indol-7-yl)-3-cyanobenzenesulfonamide crystals)

RN 848406-39-3 CAPLUS

CN Benzenesulfonamide, 3-cyano-N-(3-cyano-4-methyl-1H-indol-7-yl)-, monohydrate (9CI) (CA INDEX NAME)



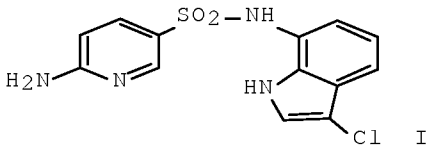
IT 289483-69-8P
RL: PEP (Physical, engineering or chemical process); PRP (Properties); PYP (Physical process); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)
(preparation of N-(3-cyano-4-methyl-1H-indol-7-yl)-3-cyanobenzenesulfonamide)
RN 289483-69-8 CAPLUS
CN Benzenesulfonamide, 3-cyano-N-(3-cyano-4-methyl-1H-indol-7-yl)- (CA INDEX NAME)



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 4 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2002:543704 CAPLUS Full-text
DOCUMENT NUMBER: 138:55830
TITLE: Synthesis and biological evaluation of N-(7-indolyl)-3-pyridinesulfonamide derivatives as potent antitumor agents
AUTHOR(S): Owa, Takashi; Yoshino, Hiroshi; Okauchi, Tatsuo; Okabe, Tadashi; Ozawa, Yoichi; Hata Sugi, Naoko; Yoshimatsu, Kentaro; Nagasu, Takeshi; Koyanagi, Nozomu; Kitoh, Kyosuke
CORPORATE SOURCE: Tsukuba Research Laboratories, Eisai Co., Ltd., Tsukuba, Ibaraki, 300-2635, Japan
SOURCE: Bioorganic & Medicinal Chemistry Letters (2002),

12(16), 2097-2100
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 138:55830
GI

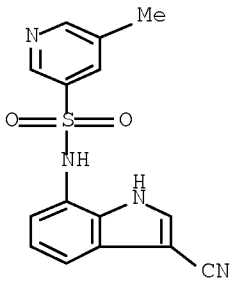


I

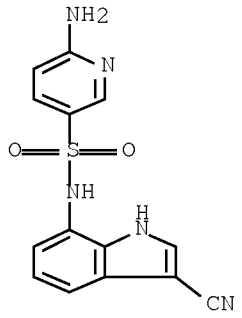
AB The synthesis and antitumor activity of E7070 analogs containing a 3-pyridinesulfonamide moiety is reported. E7070 was selected from our sulfonamide-based compound collections, currently undergoing Phase II clin. trials because of its tolerable toxicity profile and some antitumor responses in the Phase I setting. Of the analogs examined, ER-35745 (I), a 6-amino-3-pyridinesulfonamide derivative, demonstrated significant oral efficacy against the HCT116 human colon carcinoma xenograft in nude mice.

IT 165668-81-5P 304442-17-9P 478978-67-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(synthesis and antitumor activity of (indolyl)pyridinesulfonamide derivs.)

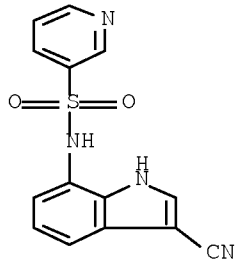
RN 165668-81-5 CAPLUS
CN 3-Pyridinesulfonamide, N-(3-cyano-1H-indol-7-yl)-5-methyl- (CA INDEX NAME)



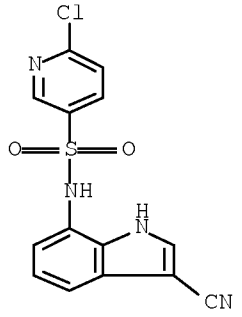
RN 304442-17-9 CAPLUS
CN 3-Pyridinesulfonamide, 6-amino-N-(3-cyano-1H-indol-7-yl)- (CA INDEX NAME)



RN 478978-67-5 CAPLUS
CN 3-Pyridinesulfonamide, N-(3-cyano-1H-indol-7-yl)- (CA INDEX NAME)



IT 165668-40-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis and antitumor activity of (indolyl)pyridinesulfonamide derivs.)
RN 165668-40-6 CAPLUS
CN 3-Pyridinesulfonamide, 6-chloro-N-(3-cyano-1H-indol-7-yl)- (CA INDEX NAME)



REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

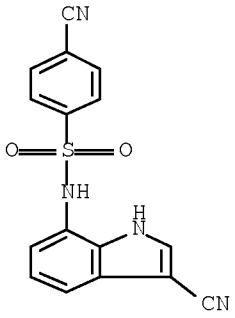
L3 ANSWER 5 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2002:230853 CAPLUS Full-text

DOCUMENT NUMBER: 137:134471
TITLE: Profiling novel sulfonamide antitumor agents with cell-based phenotypic screens and array-based gene expression analysis
AUTHOR(S): Yokoi, Akira; Kuromitsu, Junro; Kawai, Takatoshi; Nagasu, Takeshi; Sugi, Naoko Hata; Yoshimatsu, Kentaro; Yoshino, Hiroshi; Owa, Takashi
CORPORATE SOURCE: Laboratory of Seeds Finding Technology, Eisai Co. Ltd., Ibaraki, 300-2635, Japan
SOURCE: Molecular Cancer Therapeutics (2002), 1(4), 275-286
CODEN: MCTOCF; ISSN: 1535-7163
PUBLISHER: American Association for Cancer Research
DOCUMENT TYPE: Journal
LANGUAGE: English

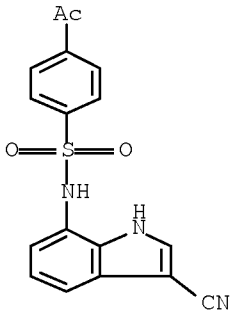
AB A series of small mols. from sulfonamide-focused libraries have been evaluated in these labs. to discover novel antitumor agents. Cell-based screens using flow cytometric anal. revealed the presence of two distinct classes of cell cycle inhibitors in this series; one (including E7010 and ER-67865) arrested mitosis by preventing tubulin polymerization; and the other (including E7070 and ER-68487) caused a decrease in the S-phase fraction along with cell cycle perturbation in G1 and/or G2 via an unknown mechanism(s). To further characterize both classes of antitumor sulfonamides with respect to their effects on gene expression, we used oligonucleotide microarray anal. for representative compds. Consistent with the phenotypic observations, essentially the same transcription profiles were found between E7010 and ER-67865 and also between E7070 and ER-68487. However, there was very little overlap between genes affected by E7010 and E7070. As a characteristic expression change for microtubule-depolymg. agents, the down-regulation of α-tubulin transcripts was evident in both E7010- and ER-67865-treated cells. On the other hand, E7070 and ER-68487 repressed significantly the expression of a variety of genes involved in metabolic processes, cell cycle progression, immune response, and signal transduction. Of the compds. examined, E7010 and E7070 have progressed to clin. trials, demonstrating some objective responses in the Phase I setting. Described herein is profiling of novel anticancer drug candidates from the sulfonamide class based on phenotypic screens and gene expression anal. This includes a translational research that may suggest potentially useful markers for pharmacodynamic drug assessment in clinic.

IT 165668-50-8P, ER 68487 165668-63-3P 247186-89-6P 247186-92-1P 444579-59-3P
RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(profiling novel sulfonamide antitumor agents with cell-based phenotypic screens and array-based gene expression anal.)

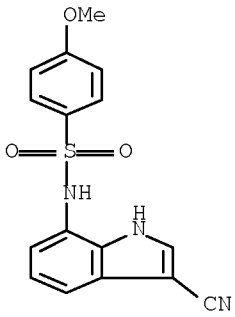
RN 165668-50-8 CAPLUS
CN Benzenesulfonamide, 4-cyano-N-(3-cyano-1H-indol-7-yl)- (CA INDEX NAME)



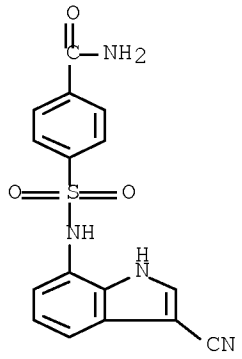
RN 165668-63-3 CAPLUS
CN Benzenesulfonamide, 4-acetyl-N-(3-cyano-1H-indol-7-yl)- (CA INDEX NAME)



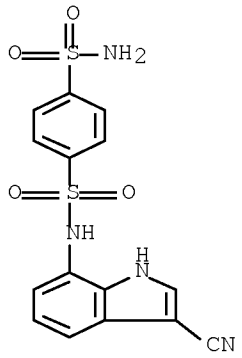
RN 247186-89-6 CAPLUS
CN Benzenesulfonamide, N-(3-cyano-1H-indol-7-yl)-4-methoxy- (CA INDEX NAME)



RN 247186-92-1 CAPLUS
CN Benzamide, 4-[[(3-cyano-1H-indol-7-yl)amino]sulfonyl]- (CA INDEX NAME)



RN 444579-59-3 CAPLUS
CN 1,4-Benzenedisulfonamide, N1-(3-cyano-1H-indol-7-yl)- (CA INDEX NAME)



REFERENCE COUNT: 38 THERE ARE 38 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 6 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2001:581738 CAPLUS Full-text
DOCUMENT NUMBER: 135:175421
TITLE: Integrin expression inhibitors
INVENTOR(S): Wakabayashi, Toshiaki; Funahashi, Yasuhiro; Hata, Naoko; Semba, Taro; Yamamoto, Yuji; Haneda, Toru; Owa, Takashi; Tsuruoka, Akihiko; Kamata, Junichi; Okabe, Tadashi; Takahashi, Keiko; Nara, Kazumasa; Hamaoka, Shinichi; Ueda, Norihiro
PATENT ASSIGNEE(S): Eisai Co., Ltd., Japan
SOURCE: PCT Int. Appl., 153 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001056607	A1	20010809	WO 2001-JP713	20010201

W: AU, CA, CN, HU, JP, KR, MX, NO, NZ, RU, US
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR

CA 2399001	A1	20010809	CA 2001-2399001		20010201
AU 2001028867	A	20010814	AU 2001-28867		20010201
AU 781506	B2	20050526			
EP 1258252	A1	20021120	EP 2001-948941		20010201
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY, TR					
HU 2003000544	A2	20030728	HU 2003-544		20010201
HU 2003000544	A3	20050329			
NZ 520299	A	20040528	NZ 2001-520299		20010201
RU 2240826	C2	20041127	RU 2002-123580		20010201
JP 4039856	B2	20080130	JP 2001-556505		20010201
US 20040018192	A1	20040129	US 2002-181562		20020718
MX 2002PA07249	A	20021209	MX 2002-PA7249		20020725
KR 767000	B1	20071015	KR 2002-709945		20020801
NO 2002003688	A	20021003	NO 2002-3688		20020802
US 20050176712	A1	20050811	US 2005-97218		20050404
KR 767002	B1	20071015	KR 2007-701761		20070124
PRIORITY APPLN. INFO.:			JP 2000-26080	A	20000203
			JP 2000-402084	A	20001228
			WO 2001-JP713	W	20010201
			US 2002-181562	A1	20020718
			KR 2002-709945	A3	20020801

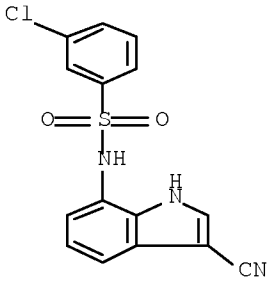
OTHER SOURCE(S): MARPAT 135:175421

AB Integrin expression inhibitors and remedies for arteriosclerosis, psoriasis, cancer, retinal angiogenesis, diabetic retinitis or inflammatory diseases, anticoagulant agents and cancerous metastasis inhibitors based on the integrin inhibitory effect. Namely, integrin expression inhibitors containing as the active ingredient sulfonamide compds. represented by the following general formula BKSO2N(R1)ZR, pharmacol. acceptable salts thereof or hydrates of the same wherein B represents optionally substituted C6-10 aryl or 6- to 10-membered heteroaryl wherein the ring may be partly saturated; K represents a single bond, -CH=CH- or -(CR4bR5b)mb- (wherein R4b and R5b may be the same or different and each represents hydrogen or C1-4 alkyl; and mb represents an integer of 1 or 2); R1 represents hydrogen or C1-6 alkyl; Z represents a single bond or CO-NH-; and R represents optionally substituted C6-10 aryl or 6- to 10-membered heteroaryl wherein the ring may be partly saturated

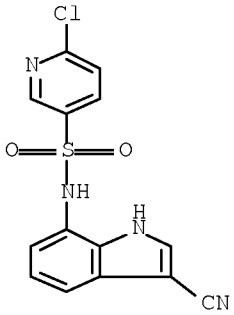
IT 165668-39-3P 165668-40-6P 165668-50-8P
165668-60-0P 165668-61-1P 165668-63-3P
165668-65-5P 165668-66-6P 165668-69-9P
165668-72-4P 165668-76-8P 165668-81-5P
165668-86-0P 165668-87-1P 165668-89-3P
165668-99-5P 182742-70-7P 289483-69-8P
289483-70-1P 304442-17-9P 304442-22-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(integrin expression inhibitors for medical uses)

RN 165668-39-3 CAPLUS

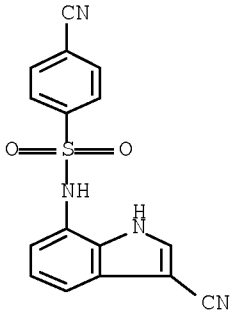
CN Benzenesulfonamide, 3-chloro-N-(3-cyano-1H-indol-7-yl)- (CA INDEX NAME)



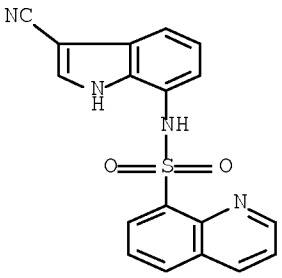
RN 165668-40-6 CAPLUS
CN 3-Pyridinesulfonamide, 6-chloro-N-(3-cyano-1H-indol-7-yl)- (CA INDEX NAME)



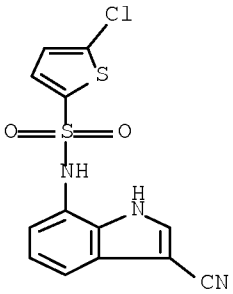
RN 165668-50-8 CAPLUS
CN Benzenesulfonamide, 4-cyano-N-(3-cyano-1H-indol-7-yl)- (CA INDEX NAME)



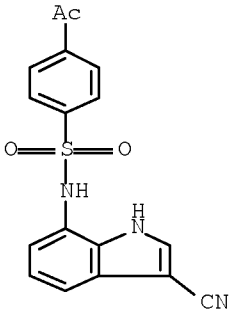
RN 165668-60-0 CAPLUS
CN 8-Quinolinesulfonamide, N-(3-cyano-1H-indol-7-yl)- (CA INDEX NAME)



RN 165668-61-1 CAPLUS
CN 2-Thiophenesulfonamide, 5-chloro-N-(3-cyano-1H-indol-7-yl)- (CA INDEX NAME)



RN 165668-63-3 CAPLUS
CN Benzenesulfonamide, 4-acetyl-N-(3-cyano-1H-indol-7-yl)- (CA INDEX NAME)



RN 165668-65-5 CAPLUS
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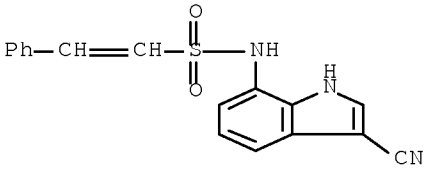

RN 165668-66-6 CAPLUS
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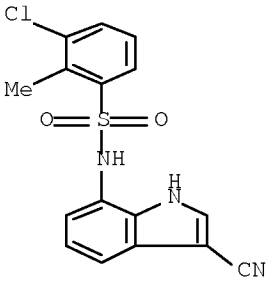
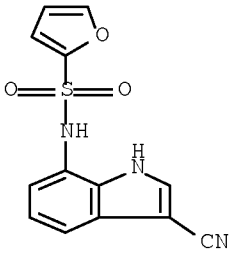
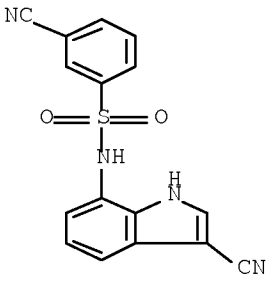


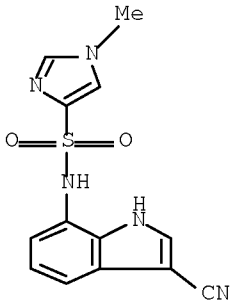
RN 165668-69-9 CAPLUS
CN 2-Furansulfonamide, N-(3-cyano-1H-indol-7-yl)- (CA INDEX NAME)



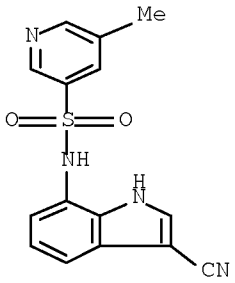
RN 165668-72-4 CAPLUS
CN Benzenesulfonamide, 3-cyano-N-(3-cyano-1H-indol-7-yl)- (CA INDEX NAME)



RN 165668-76-8 CAPLUS
CN 1H-Imidazole-4-sulfonamide, N-(3-cyano-1H-indol-7-yl)-1-methyl- (CA INDEX NAME)



RN 165668-81-5 CAPLUS
CN 3-Pyridinesulfonamide, N-(3-cyano-1H-indol-7-yl)-5-methyl- (CA INDEX NAME)



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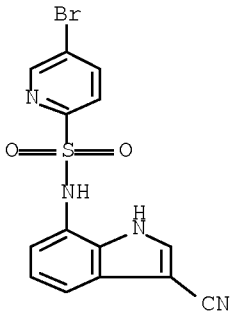

RN 165668-87-1 CAPLUS
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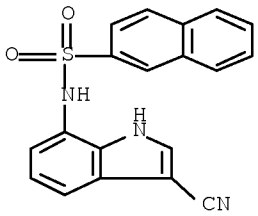
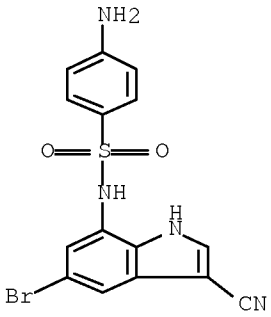


RN 165668-89-3 CAPLUS
CN Benzenesulfonamide, 4-amino-N-(5-bromo-3-cyano-1H-indol-7-yl)- (CA INDEX NAME)



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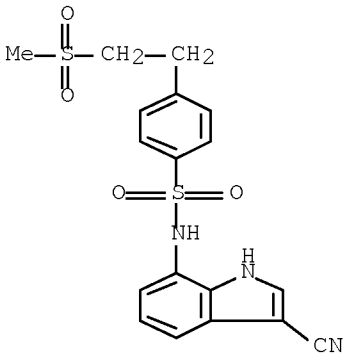
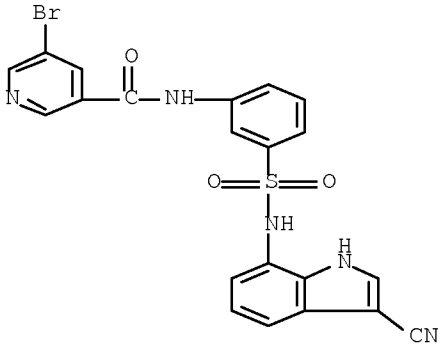


RN 182742-70-7 CAPLUS
CN 3-Pyridinecarboxamide, 5-bromo-N-[3-[[(3-cyano-1H-indol-7-yl)amino]sulfonyl]phenyl]- (CA INDEX NAME)



RN 289483-69-8 CAPLUS
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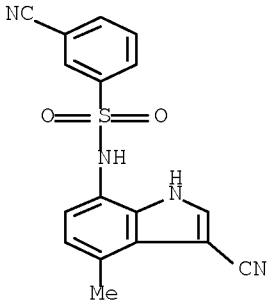

RN 289483-70-1 CAPLUS
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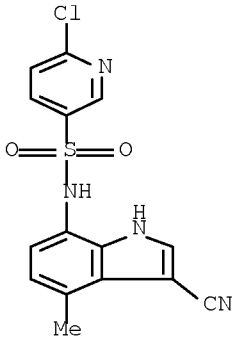

RN 304442-17-9 CAPLUS
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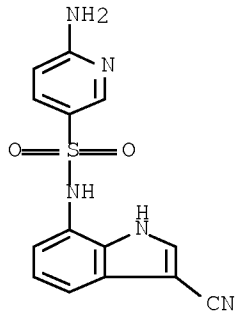

RN 304442-22-6 CAPLUS
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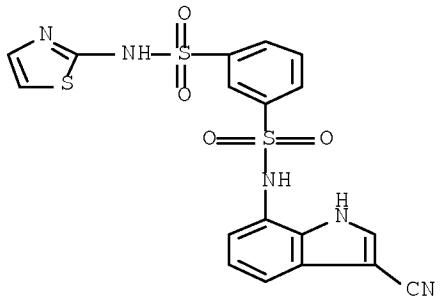


REFERENCE COUNT: 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 7 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2000:780886 CAPLUS Full-text
DOCUMENT NUMBER: 133:340214
TITLE: Neovascularization inhibitors containing sulfonamides or sulfonate esters, and their use for treatment of metastasis, retinal neovascularization, diabetic retinopathy, and inflammation
INVENTOR(S): Wakabayashi, Toshiaki; Funahashi, Yasuhiro; Senba, Taro; Hata, Naoko; Yamamoto, Hiroyuki; Ozawa, Yoichi; Tsukahara, Naoko; Haneda, Akira; Tsuruoka, Akihiko; Kamata, Junichi; Okabe, Tadashi; Takahashi, Keiko; Nara, Kazumasa; Hamaoka, Shinichi; Ueda, Norihiro; Yamato, Takashi; Okauchi, Tatsuo; Yoshino, Hiroshi
PATENT ASSIGNEE(S): Eisai Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 23 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

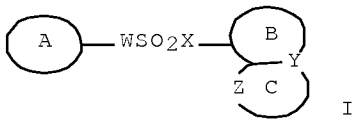
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

JP 2000309534	A	20001107	JP 2000-48403	20000225
JP 4007743	B2	20071114		

PRIORITY APPLN. INFO.: JP 1999-49871 A 19990226

OTHER SOURCE(S): MARPAT 133:340214

GI



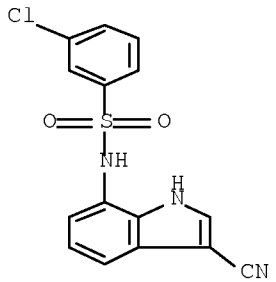
I

AB Neovascularization inhibitors contain sulfonic acid derivs. I [ring A = (un)substituted mono- or dicyclic aromatic ring; ring B = (un)substituted 6-membered unsatd. hydrocarbyl, (un)substituted 6-membered unsatd. heterocyclyl containing 1 N; ring C = (un)substituted 5-membered heterocyclyl containing 1 or 2 N; W = bond, CH:CH; X = NR1, O; Y = C, N; Z = NR2, N; R1, R2 = H, lower alkyl], their pharmacol. acceptable salts, or their their hydrates as active ingredients. Condensation of 1.50 g 7-amino-1H-indole with 2.57 g 4-nitrobenzenesulfonyl chloride gave 3.50 g N-(1H-indol-7-yl)-4-nitrobenzenesulfonamide, which inhibit neovascularization with IC50 of 1.45 μg/mL.

IT 165668-39-3P 165668-40-6P 165668-50-8P
165668-60-0P 165668-61-1P 165668-63-3P
165668-65-5P 165668-66-6P 165668-69-9P
165668-72-4P 165668-76-8P 165668-81-5P
165668-86-0P 165668-87-1P 165668-89-3P
165668-99-5P 182742-70-7P 304442-17-9P
304442-18-0P 304442-22-6P 304442-23-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PNU (Preparation, unclassified); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of sulfonamides or sulfonate esters as neovascularization inhibitors)

RN 165668-39-3 CAPLUS

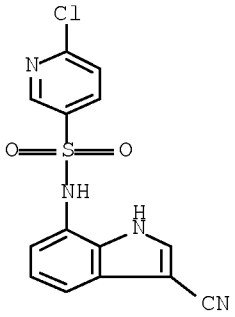
CN Benzenesulfonamide, 3-chloro-N-(3-cyano-1H-indol-7-yl)- (CA INDEX NAME)



RN 165668-40-6 CAPLUS

CN 3-Pyridinesulfonamide, 6-chloro-N-(3-cyano-1H-indol-7-yl)- (CA INDEX

NAME)



RN 165668-50-8 CAPLUS
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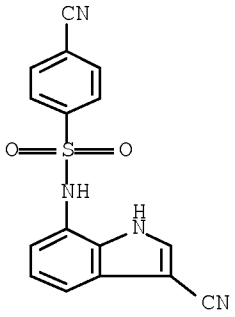

RN 165668-60-0 CAPLUS
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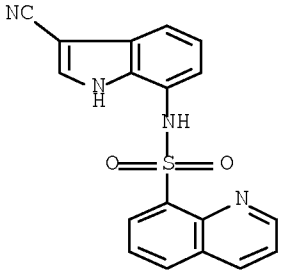
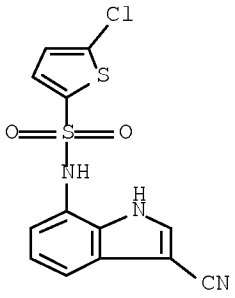
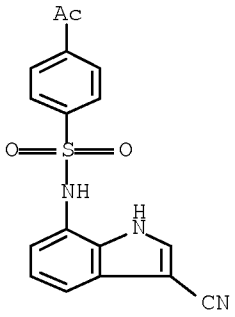


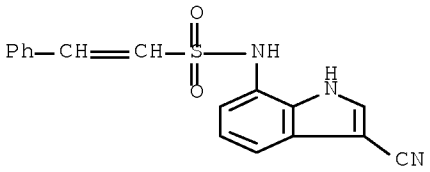
RN 165668-61-1 CAPLUS
CN 2-Thiophenesulfonamide, 5-chloro-N-(3-cyano-1H-indol-7-yl)- (CA INDEX NAME)



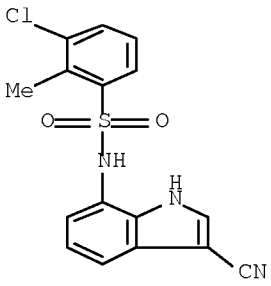
RN 165668-63-3 CAPLUS
CN Benzenesulfonamide, 4-acetyl-N-(3-cyano-1H-indol-7-yl)- (CA INDEX NAME)



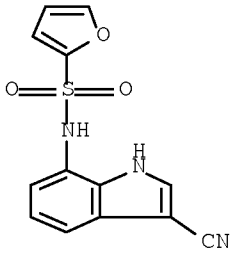
RN 165668-65-5 CAPLUS
CN Ethenesulfonamide, N-(3-cyano-1H-indol-7-yl)-2-phenyl- (CA INDEX NAME)



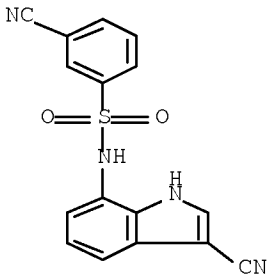
RN 165668-66-6 CAPLUS
CN Benzenesulfonamide, 3-chloro-N-(3-cyano-1H-indol-7-yl)-2-methyl- (CA INDEX NAME)



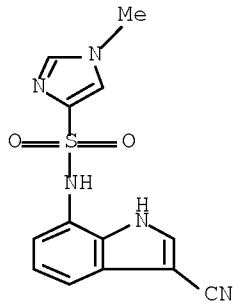
RN 165668-69-9 CAPLUS
CN 2-Furansulfonamide, N-(3-cyano-1H-indol-7-yl)- (CA INDEX NAME)



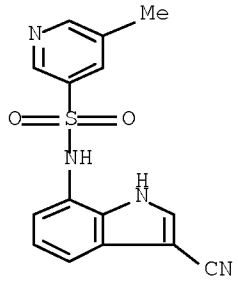
RN 165668-72-4 CAPLUS
CN Benzenesulfonamide, 3-cyano-N-(3-cyano-1H-indol-7-yl)- (CA INDEX NAME)



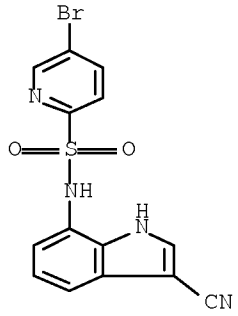
RN 165668-76-8 CAPLUS
CN 1H-Imidazole-4-sulfonamide, N-(3-cyano-1H-indol-7-yl)-1-methyl- (CA INDEX NAME)



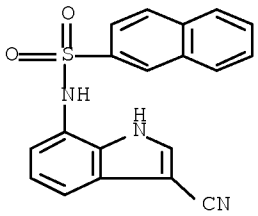
RN 165668-81-5 CAPLUS
CN 3-Pyridinesulfonamide, N-(3-cyano-1H-indol-7-yl)-5-methyl- (CA INDEX NAME)



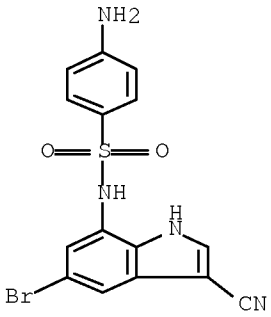
RN 165668-86-0 CAPLUS
CN 2-Pyridinesulfonamide, 5-bromo-N-(3-cyano-1H-indol-7-yl)- (CA INDEX NAME)



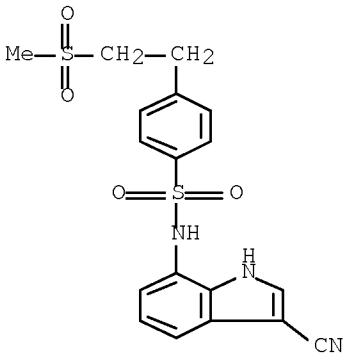
RN 165668-87-1 CAPLUS
CN 2-Naphthalenesulfonamide, N-(3-cyano-1H-indol-7-yl)- (CA INDEX NAME)



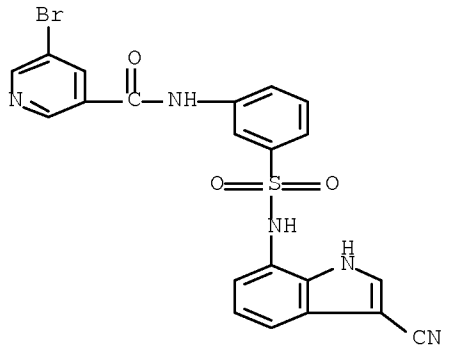
RN 165668-89-3 CAPLUS
CN Benzenesulfonamide, 4-amino-N-(5-bromo-3-cyano-1H-indol-7-yl)- (CA INDEX NAME)



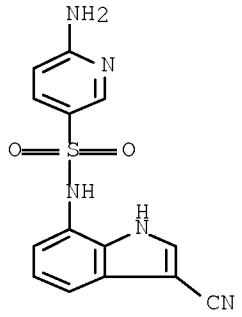
RN 165668-99-5 CAPLUS
CN Benzenesulfonamide, N-(3-cyano-1H-indol-7-yl)-4-[2-(methylsulfonyl)ethyl]- (CA INDEX NAME)



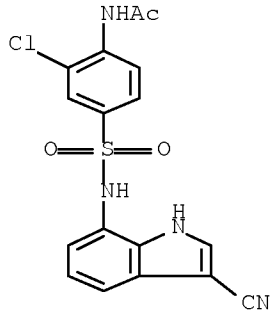
RN 182742-70-7 CAPLUS
CN 3-Pyridinecarboxamide, 5-bromo-N-[3-[[(3-cyano-1H-indol-7-yl)amino]sulfonyl]phenyl]- (CA INDEX NAME)



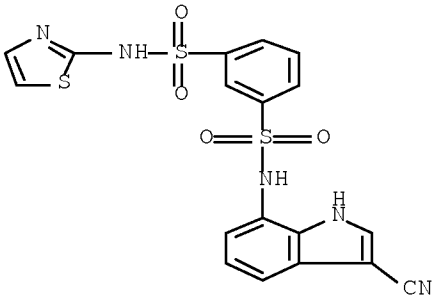
RN 304442-17-9 CAPLUS
CN 3-Pyridinesulfonamide, 6-amino-N-(3-cyano-1H-indol-7-yl)- (CA INDEX NAME)



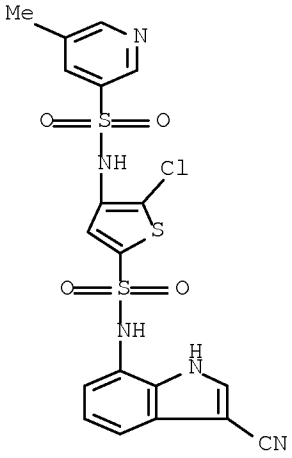
RN 304442-18-0 CAPLUS
CN Acetamide, N-[2-chloro-4-[[(3-cyano-1H-indol-7-yl)amino]sulfonyl]phenyl]- (CA INDEX NAME)



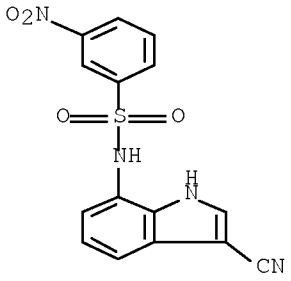
RN 304442-22-6 CAPLUS
CN 1,3-Benzenedisulfonamide, N1-(3-cyano-1H-indol-7-yl)-N3-2-thiazolyl- (CA INDEX NAME)



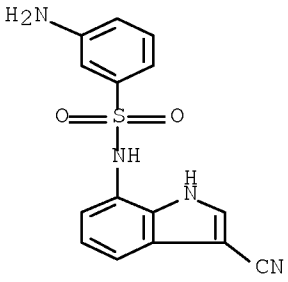
RN 304442-23-7 CAPLUS
CN 3-Pyridinesulfonamide, N-[2-chloro-5-[[(3-cyano-1H-indol-7-yl)amino]sulfonyl]-3-thienyl]-5-methyl- (CA INDEX NAME)



IT 182742-79-6P 182742-80-9P
RL: PNU (Preparation, unclassified); RCT (Reactant); PREP (Preparation); RACT (Reactant or reagent)
(preparation of sulfonamides or sulfonate esters as neovascularization inhibitors)
RN 182742-79-6 CAPLUS
CN Benzenesulfonamide, N-(3-cyano-1H-indol-7-yl)-3-nitro- (CA INDEX NAME)



RN 182742-80-9 CAPLUS
CN Benzenesulfonamide, 3-amino-N-(3-cyano-1H-indol-7-yl)- (CA INDEX NAME)



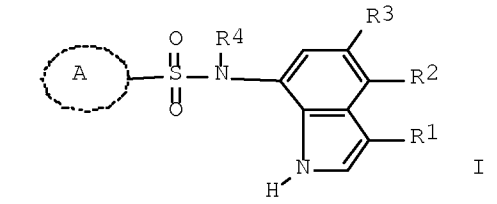
L3 ANSWER 8 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2000:608721 CAPLUS Full-text
DOCUMENT NUMBER: 133:193071
TITLE: Preparation of sulfonamide-containing indole derivatives as inhibitors of neovascularization and tumor
INVENTOR(S): Haneda, Toru; Tsuruoka, Akihiko; Kamata, Junichi; Okabe, Tadashi; Takahashi, Keiko; Nara, Kazumasa; Hamaoka, Shinichi; Ueda, Norihiro; Ohwa, Takashi; Wakabayashi, Toshiaki; Funahashi, Yasuhiro; Semba, Taro; Hata, Naoko; Yamamoto, Yuji; Ozawa, Yoichi; Tsukahara, Naoko
PATENT ASSIGNEE(S): Eisai Co., Ltd., Japan; et al.
SOURCE: PCT Int. Appl., 44 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000050395	A1	20000831	WO 2000-JP1071	20000224
W: AU, CA, CN, HU, JP, KR, MX, NO, NZ, RU, US				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
JP 2000247949	A	20000912	JP 1999-49870	19990226
CA 2327253	A1	20000831	CA 2000-2327253	20000224
CA 2327253	C	20071016		
EP 1074542	A1	20010207	EP 2000-905321	20000224
EP 1074542	B1	20060503		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY				
HU 2001001434	A2	20010928	HU 2001-1434	20000224
HU 2001001434	A3	20011029		
RU 2208607	C2	20030720	RU 2000-129508	20000224
AU 766936	B2	20031023	AU 2000-26916	20000224
NZ 507464	A	20031031	NZ 2000-507464	20000224
CN 1132814	C	20031231	CN 2000-800229	20000224
AT 325094	T	20060615	AT 2000-905321	20000224
PT 1074542	T	20060731	PT 2000-905321	20000224

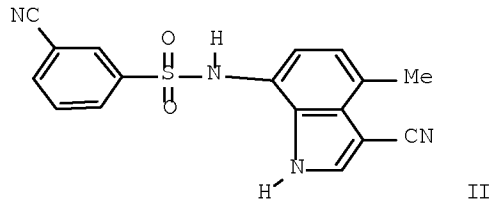
ES 2259997	T3	20061101	ES 2000-905321		20000224
JP 3866041	B2	20070110	JP 2000-600978		20000224
US 6469043	B1	20021022	US 2000-647215		20000928
MX 2000PA10243	A	20010410	MX 2000-PA10243		20001019
NO 2000005357	A	20001222	NO 2000-5357		20001024
NO 317299	B1	20041004			
US 20020128480	A1	20020912	US 2002-98420		20020318
US 6673787	B2	20040106			
US 20020128483	A1	20020912	US 2002-98421		20020318
US 6638964	B2	20031028			
JP 2006312652	A	20061116	JP 2006-226414		20060823
PRIORITY APPLN. INFO.:			JP 1999-49870	A	19990226
			JP 2000-600978	A3	20000224
			WO 2000-JP1071	W	20000224
			US 2000-647215	A3	20000928

OTHER SOURCE(S): MARPAT 133:193071

GI



I



II

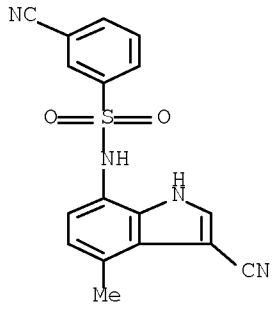
AB The title compds. I [R1 represents hydrogen, etc.; R2 and R3 are the same or different and each represents hydrogen, etc.; R4 represents hydrogen or lower (C1-4) alkyl; and the ring A represents cyanophenyl, etc., provided that the following cases are excluded: the one where R1, R2 and R3 are all hydrogen atoms; the one where R2 and R3 are both hydrogen atoms; and the one where the ring A is an aminosulfonylphenyl group and R1 and R2 are both halogen atoms; and provided that when the ring A is a cyanophenyl, 2-amino-5-pyridyl or 2-halogeno-5-pyridyl group and R1 is a cyano group or a halogen atom, then at least one of R2 and R3 is not hydrogen] are prepared The title compound II in vitro showed IC50 of 10 μg/mL against mouse B16 melanoma cells.

IT 289483-69-8P 289483-70-1P

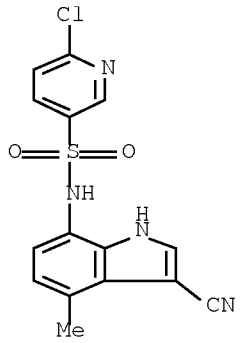
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of sulfonamide-containing indole derivs. as inhibitors of neovascularization and tumor)

RN 289483-69-8 CAPLUS
CN Benzenesulfonamide, 3-cyano-N-(3-cyano-4-methyl-1H-indol-7-yl)- (CA INDEX NAME)



RN 289483-70-1 CAPLUS
CN 3-Pyridinesulfonamide, 6-chloro-N-(3-cyano-4-methyl-1H-indol-7-yl)- (CA INDEX NAME)



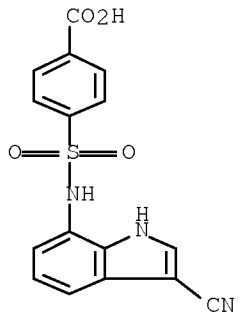
REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 9 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1999:538988 CAPLUS Full-text
DOCUMENT NUMBER: 131:306744
TITLE: Discovery of Novel Antitumor Sulfonamides Targeting G1 Phase of the Cell Cycle
AUTHOR(S): Owa, Takashi; Yoshino, Hiroshi; Okauchi, Tatsuo; Yoshimatsu, Kentaro; Ozawa, Yoichi; Sugi, Naoko Hata; Nagasu, Takeshi; Koyanagi, Nozomu; Kitoh, Kyosuke
CORPORATE SOURCE: Tsukuba Research Laboratories, Eisai Company Ltd., Tsukuba Ibaraki, 300-2635, Japan
SOURCE: Journal of Medicinal Chemistry (1999), 42(19), 3789-3799
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

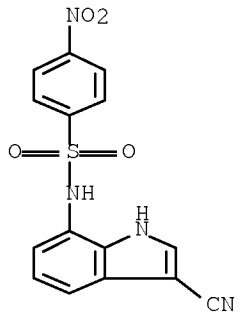
AB Described herein is the discovery of a novel series of antitumor sulfonamides targeting G1 phase of the cell cycle. Cell cycle control in G1 phase has attracted considerable attention in recent cancer research, because many of the important proteins involved in G1 progression or G1/S transition have been found to play a crucial role in proliferation, differentiation, transformation, and programmed cell death (apoptosis). We previously reported our first antitumor sulfonamide E7010 as a novel tubulin polymerization inhibitor. Interestingly enough, continuous research on structurally related compds. led us to the finding of another class of antitumor sulfonamides that block cell cycle progression of P388 murine leukemia cells in G1 phase, but not in M phase. Of the compds. examined, N-(3-chloro-7-indolyl)-1,4-benzenedisulfonamide (E7070) showed significant antitumor activity against HCT116 human colon carcinoma both in vitro (IC50 0.11 μg/mL in cell proliferation assay) and in vivo (not only growth suppression but also a marked reduction of tumor size in nude mice). Because of its promising efficacy against human tumor xenografts and its unique mode of action, E7070 is currently undergoing phase I clin. trials in European countries.

IT 247186-91-0P 247186-93-2P 247186-94-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation and evaluation of antitumor sulfonamides targeting G1 phase)

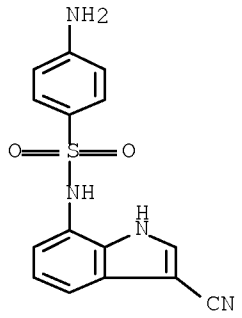
RN 247186-91-0 CAPLUS
CN Benzoic acid, 4-[[(3-cyano-1H-indol-7-yl)amino]sulfonyl]- (CA INDEX NAME)



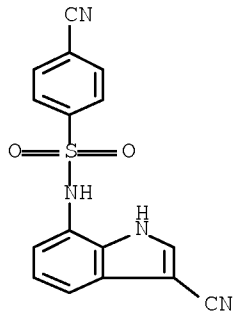
RN 247186-93-2 CAPLUS
CN Benzenesulfonamide, N-(3-cyano-1H-indol-7-yl)-4-nitro- (CA INDEX NAME)



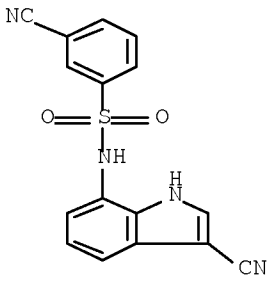
RN 247186-94-3 CAPLUS
CN Benzenesulfonamide, 4-amino-N-(3-cyano-1H-indol-7-yl)- (CA INDEX NAME)



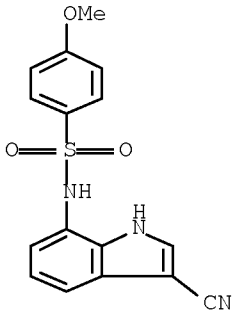
IT 165668-50-8P 165668-72-4P 247186-89-6P
247186-90-9P 247186-92-1P 247186-95-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and evaluation of antitumor sulfonamides targeting G1 phase)
RN 165668-50-8 CAPLUS
CN Benzenesulfonamide, 4-cyano-N-(3-cyano-1H-indol-7-yl)- (CA INDEX NAME)



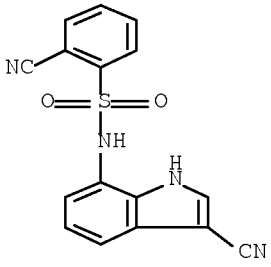
RN 165668-72-4 CAPLUS
CN Benzenesulfonamide, 3-cyano-N-(3-cyano-1H-indol-7-yl)- (CA INDEX NAME)



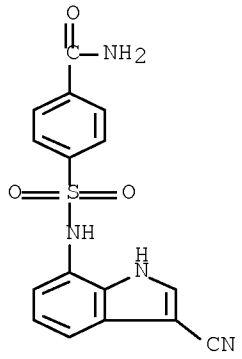
RN 247186-89-6 CAPLUS
CN Benzenesulfonamide, N-(3-cyano-1H-indol-7-yl)-4-methoxy- (CA INDEX NAME)



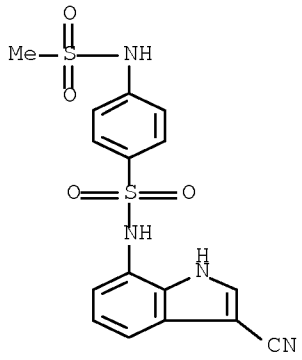
RN 247186-90-9 CAPLUS
CN Benzenesulfonamide, 2-cyano-N-(3-cyano-1H-indol-7-yl)- (CA INDEX NAME)



RN 247186-92-1 CAPLUS
CN Benzamide, 4-[[(3-cyano-1H-indol-7-yl)amino]sulfonyl]- (CA INDEX NAME)



RN 247186-95-4 CAPLUS
CN Benzenesulfonamide, N-(3-cyano-1H-indol-7-yl)-4-[(methylsulfonyl)amino]- (CA INDEX NAME)



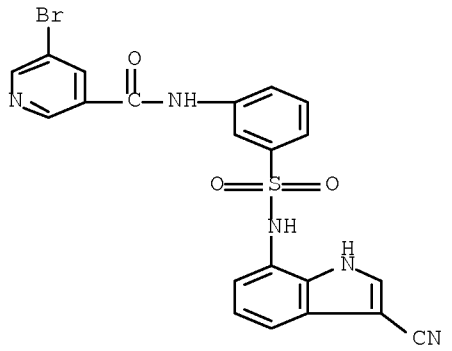
REFERENCE COUNT: 40 THERE ARE 40 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 10 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1996:659388 CAPLUS Full-text
DOCUMENT NUMBER: 125:300821
ORIGINAL REFERENCE NO.: 125:56299a,56302a
TITLE: Preparation of indole derivatives as antitumor agents
INVENTOR(S): Yoshino, Hiroshi; Yamato, Takashi; Okauchi, Tatsuo; Okabe, Tadashi; Yoshimatsu, Kentaro; Sugi, Naoko; Nagasu, Takeshi; Ozawa, Yoichi; Koyanagi, Nozomi; Kito, Kyosuke
PATENT ASSIGNEE(S): Eisai Co Ltd, Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 10 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

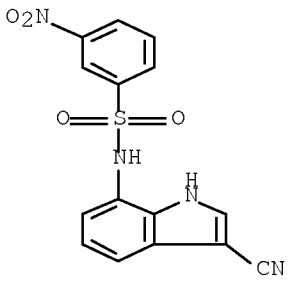
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

JP 08231505	A	19960910	JP 1995-37456	19950227
JP 3690831	B2	20050831		
PRIORITY APPLN. INFO.:			JP 1995-37456	19950227

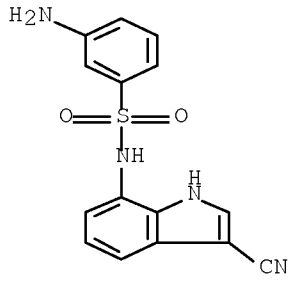
OTHER SOURCE(S): MARPAT 125:300821
GI For diagram(s), see printed CA Issue.
AB The title compds. I [ring A = monocyclic aromatic ring; Q = (un)substituted monocyclic N-containing aromatic heterocyclic ring, etc.; T, V = single bond, etc.; U = single bond, O, etc.; W = H, halo; X = halo, etc.; a proviso is given] are prepared The title compound II (preparation given) in vitro showed IC50 of 0.45 μg/mL against colon 38 tumor cells.
IT 182742-70-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of indole derivs. as antitumor agents)
RN 182742-70-7 CAPLUS
CN 3-Pyridinecarboxamide, 5-bromo-N-[3-[[(3-cyano-1H-indol-7-yl)amino]sulfonyl]phenyl]- (CA INDEX NAME)



IT 182742-79-6P 182742-80-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of indole derivs. as antitumor agents)
RN 182742-79-6 CAPLUS
CN Benzenesulfonamide, N-(3-cyano-1H-indol-7-yl)-3-nitro- (CA INDEX NAME)



RN 182742-80-9 CAPLUS
CN Benzenesulfonamide, 3-amino-N-(3-cyano-1H-indol-7-yl)- (CA INDEX NAME)



L3 ANSWER 11 OF 11 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1995:713785 CAPLUS Full-text
DOCUMENT NUMBER: 123:111849
ORIGINAL REFERENCE NO.: 123:19981a,19984a
TITLE: Preparation of bicyclic heterocyclic sulfonamide and sulfonic ester derivatives as antitumor agents
INVENTOR(S): Yoshino, Hiroshi; Yamato, Takashi; Okauchi, Tatsuo; Yoshimatsu, Kentaro; Sugi, Naoko; Nagasu, Takeshi; Ozawa, Yoichi; Koyanagi, Nozomu; Kito, Kyosuke
PATENT ASSIGNEE(S): Eisai Co., Ltd., Japan
SOURCE: PCT Int. Appl., 99 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9507276	A1	19950316	WO 1994-JP1487	19940908
W: AU, CA, CN, FI, HU, KR, NO, NZ, RU, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
JP 07165708	A	19950627	JP 1994-207568	19940831
JP 3545461	B2	20040721		
CA 2146961	A1	19950316	CA 1994-2146961	19940908
CA 2146961	C	20061107		
AU 9476237	A	19950327	AU 1994-76237	19940908
AU 683492	B2	19971113		
EP 673937	A1	19950927	EP 1994-926372	19940908
EP 673937	B1	20031126		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
HU 71551	A2	19951228	HU 1995-1363	19940908
HU 224069	B1	20050530		
CN 1114506	A	19960103	CN 1994-190672	19940908
CN 1079097	C	20020213		
RU 2121997	C1	19981120	RU 1996-119782	19940908
RU 2128648	C1	19990410	RU 1995-112848	19940908
HU 217842	B	20000428	HU 1996-2147	19940908
AT 255106	T	20031215	AT 1994-926372	19940908
CN 1491941	A	20040428	CN 2001-2001119456	19940908

PT 673937	T	20040430	PT 1994-926372		19940908
ES 2206469	T3	20040516	ES 1994-926372		19940908
NO 9501813	A	19950509	NO 1995-1813		19950509
FI 9502272	A	19950706	FI 1995-2272		19950510
FI 109690	B1	20020930			
US 5721246	A	19980224	US 1995-433493		19950510
AU 9717785	A	19970814	AU 1997-17785		19970409
AU 711438	B2	19991014			
PRIORITY APPLN. INFO.:			JP 1993-248614	A	19930910
			JP 1994-207568	A	19940831
			HU 1995-1363	A	19940908
			WO 1994-JP1487	W	19940908

OTHER SOURCE(S): MARPAT 123:111849

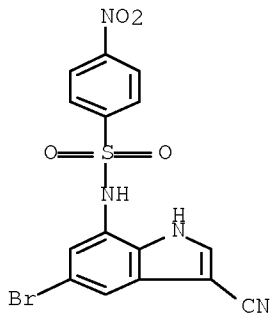
GI For diagram(s), see printed CA Issue.

AB Novel bicyclic heterocyclic sulfonamide and sulfonic ester derivs. represented by general formula [I; ring A = (un)substituted mono- or bicyclic aromatic group; ring B = (un)substituted 6-membered unsatd. hydrocarbon ring or 6-membered unsatd. heterocyclic group containing one N atom; ring C = (un)substituted 5-membered heterocyclic group containing one or two N atoms; W = a single bond or CH:CH; X = NR1 or O; Y = C or N; Z = NR2 or N; wherein R1, R2 = H, lower alkyl] or pharmacol. acceptable salts thereof, having an antitumor activity with reduced toxicity, are prepared Thus, 1.50 g 7-amino-1H-indole (preparation given) was dissolved in 40 mL pyridine followed by adding 2.57 g 4-nitrobenzenesulfonyl chloride and the mixture was stirred at room temperature overnight to give, after silica gel chromatog., 3.50 g 7-(phenylsulfonylamino)indole derivative (II; X1 = NO2, R = H). 50 7-(Phenylsulfonylamino)indole derivs. in vitro showed IC50 of 0.09-0.87 μg/mL for inhibiting the proliferation of mouse colon 38 cancer cells. I (X1 = MeSO2NH, R = Cl) at 100 mg/kg i.p. per day for 4 consecutive days inhibited 97% the growth of human colon cancer HCT116 cells transplanted in mice 21 days after the administration and gave 100% survival rate for the animals.

IT 165669-34-1P, N-(5-Bromo-3-cyano-1H-indol-7-yl)-4-nitrobenzenesulfonamide
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate for preparation of (phenylsulfonylamino)indole derivative as antitumor agents)

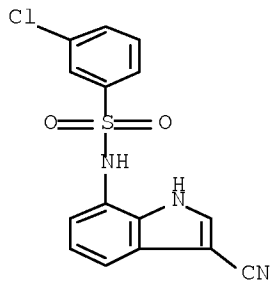
RN 165669-34-1 CAPLUS

CN Benzenesulfonamide, N-(5-bromo-3-cyano-1H-indol-7-yl)-4-nitro- (CA INDEX NAME)

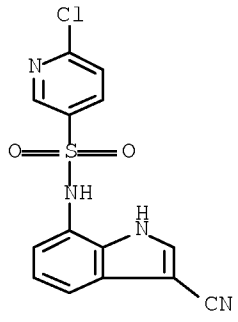


IT 165668-39-3P 165668-40-6P 165668-50-8P
165668-60-0P 165668-61-1P 165668-63-3P
165668-65-5P 165668-66-6P 165668-69-9P
165668-72-4P 165668-76-8P 165668-81-5P
165668-86-0P 165668-87-1P 165668-89-3P
165668-99-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of (phenylsulfonylamino)indole derivative as antitumor agents)

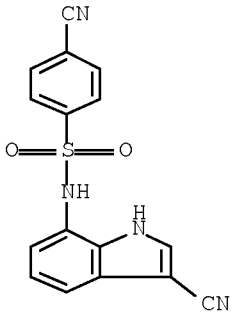
RN 165668-39-3 CAPLUS
CN Benzenesulfonamide, 3-chloro-N-(3-cyano-1H-indol-7-yl)- (CA INDEX NAME)



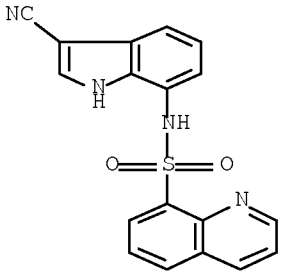
RN 165668-40-6 CAPLUS
CN 3-Pyridinesulfonamide, 6-chloro-N-(3-cyano-1H-indol-7-yl)- (CA INDEX NAME)



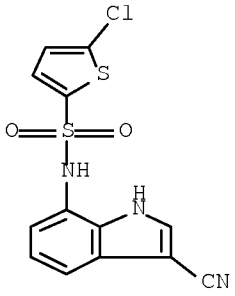
RN 165668-50-8 CAPLUS
CN Benzenesulfonamide, 4-cyano-N-(3-cyano-1H-indol-7-yl)- (CA INDEX NAME)



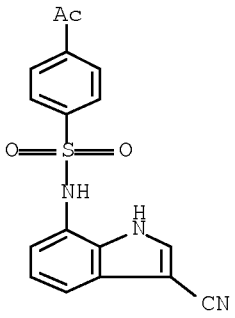
RN 165668-60-0 CAPLUS
CN 8-Quinolinesulfonamide, N-(3-cyano-1H-indol-7-yl)- (CA INDEX NAME)



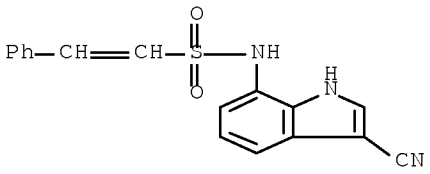
RN 165668-61-1 CAPLUS
CN 2-Thiophenesulfonamide, 5-chloro-N-(3-cyano-1H-indol-7-yl)- (CA INDEX NAME)



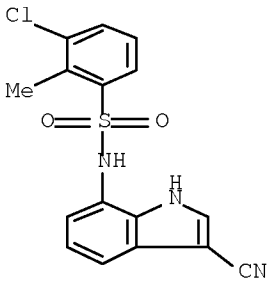
RN 165668-63-3 CAPLUS
CN Benzenesulfonamide, 4-acetyl-N-(3-cyano-1H-indol-7-yl)- (CA INDEX NAME)



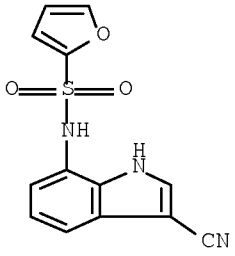
RN 165668-65-5 CAPLUS
CN Ethenesulfonamide, N-(3-cyano-1H-indol-7-yl)-2-phenyl- (CA INDEX NAME)



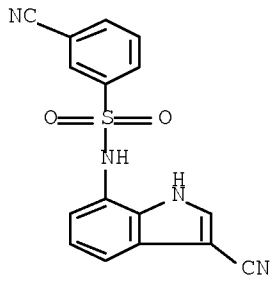
RN 165668-66-6 CAPLUS
CN Benzenesulfonamide, 3-chloro-N-(3-cyano-1H-indol-7-yl)-2-methyl- (CA INDEX NAME)



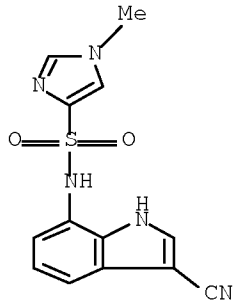
RN 165668-69-9 CAPLUS
CN 2-Furansulfonamide, N-(3-cyano-1H-indol-7-yl)- (CA INDEX NAME)



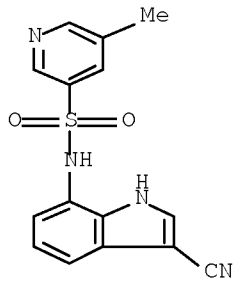
RN 165668-72-4 CAPLUS
CN Benzenesulfonamide, 3-cyano-N-(3-cyano-1H-indol-7-yl)- (CA INDEX NAME)



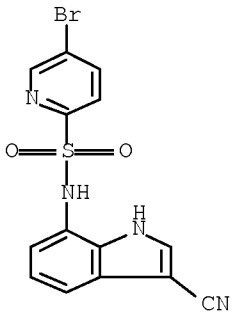
RN 165668-76-8 CAPLUS
CN 1H-Imidazole-4-sulfonamide, N-(3-cyano-1H-indol-7-yl)-1-methyl- (CA INDEX NAME)



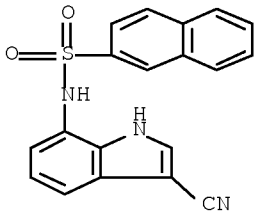
RN 165668-81-5 CAPLUS
CN 3-Pyridinesulfonamide, N-(3-cyano-1H-indol-7-yl)-5-methyl- (CA INDEX NAME)



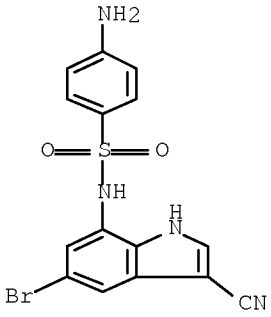
RN 165668-86-0 CAPLUS
CN 2-Pyridinesulfonamide, 5-bromo-N-(3-cyano-1H-indol-7-yl)- (CA INDEX NAME)



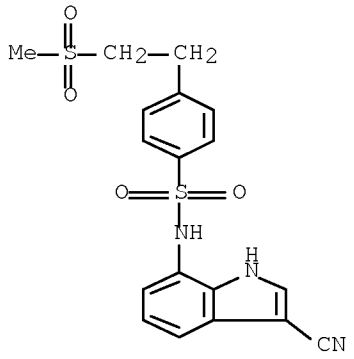
RN 165668-87-1 CAPLUS
CN 2-Naphthalenesulfonamide, N-(3-cyano-1H-indol-7-yl)- (CA INDEX NAME)



RN 165668-89-3 CAPLUS
CN Benzenesulfonamide, 4-amino-N-(5-bromo-3-cyano-1H-indol-7-yl)- (CA INDEX NAME)



RN 165668-99-5 CAPLUS
CN Benzenesulfonamide, N-(3-cyano-1H-indol-7-yl)-4-[2-(methylsulfonyl)ethyl]- (CA INDEX NAME)



FILE 'CAOLD' ENTERED AT 11:53:29 ON 05 SEP 2008
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FILE COVERS 1907-1966
FILE LAST UPDATED: 01 May 1997 (19970501/UP)

This file contains CAS Registry Numbers for easy and accurate substance identification. Title keywords, authors, patent assignees, and patent information, e.g., patent numbers, are now searchable from 1907-1966. TIFF images of CA abstracts printed between 1907-1966 are available in the PAGE display formats.

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CAOLD will be discontinued and removed from associated database clusters.

- November 22, 2008 - removed from database clusters
- December 31, 2008 - removed from STN

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L4 0 L2

FILE 'MEDLINE' ENTERED AT 11:53:40 ON 05 SEP 2008

FILE 'BIOSIS' ENTERED AT 11:53:40 ON 05 SEP 2008

FILE 'EMBASE' ENTERED AT 11:53:40 ON 05 SEP 2008

L5 4 L2

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L6             4 DUP REM L5 (0 DUPLICATES REMOVED)

L6   ANSWER 1 OF 4     MEDLINE on STN
ACCESSION NUMBER:   2006120467     MEDLINE  Full-text
DOCUMENT NUMBER:    PubMed ID: 16507218
TITLE:              Integrins: molecular targets in cancer therapy.
AUTHOR:             Tucker Gordon C
CORPORATE SOURCE:   Institut de Recherches Servier, Cancer Drug Discovery,
                    125 Chemin de Ronde, 78290 Croissy sur Seine, France..
                    gordon.tucker@fr.netgrs.com
SOURCE:             Current oncology reports, (2006 Mar) Vol. 8, No. 2, pp.
                    96-103.  Ref: 55
                    Journal code: 100888967. ISSN: 1523-3790.
PUB. COUNTRY:       United States
DOCUMENT TYPE:      Journal; Article; (JOURNAL ARTICLE)
                    General Review; (REVIEW)
LANGUAGE:           English
FILE SEGMENT:       Priority Journals
ENTRY MONTH:        200606
ENTRY DATE:         Entered STN: 2 Mar 2006
                    Last Updated on STN: 21 Jun 2006
                    Entered Medline: 20 Jun 2006
AB    Integrins are cell surface adhesion molecules coupling the extracellular
      environment to the cytoskeleton as well as receptors for transmitting signals
      important for cell migration, invasion, proliferation, and survival.  At least
      six integrin inhibitors are being evaluated in clinical trials for cancer.
      Currently, patients with melanoma and glioblastoma multiforme benefit from
      Vitaxin (MedImmune, Gaithersburg, MD) or cilengitide treatment, respectively.
      Many phase II trials are being or have been conducted with these two compounds
      (the most advanced).  Surprisingly, despite the broad theoretical impact of
      such molecules on integrin function, and thus on pathology, the clear
      identification of discrete clinical niches for their use remains to be
      defined.  Possible reasons for this are discussed in this review.  The
      parallel development of integrin antagonists as imaging tools for patient
      selection may accelerate the discovery of new avenues for their use.


L6   ANSWER 2 OF 4     MEDLINE on STN
ACCESSION NUMBER:   2004088370     MEDLINE  Full-text
DOCUMENT NUMBER:    PubMed ID: 14977846
TITLE:              An angiogenesis inhibitor E7820 shows broad-spectrum
                    tumor growth inhibition in a xenograft model: possible
                    value of integrin alpha2 on platelets as a biological
                    marker.
AUTHOR:             Semba Taro; Funahashi Yasuhiro; Ono Naoto; Yamamoto
                    Yuji; Sugi Naoko Hata; Asada Makoto; Yoshimatsu
                    Kentaro; Wakabayashi Toshiaki
CORPORATE SOURCE:   Tsukuba Research Laboratories, Eisai Co, Ltd, Ibaraki,
                    Japan.. r-semba@hhc.eisai.co.jp
SOURCE:             Clinical cancer research : an official journal of the
                    American Association for Cancer Research, (2004 Feb 15)
                    Vol. 10, No. 4, pp. 1430-8.
                    Journal code: 9502500. ISSN: 1078-0432.
PUB. COUNTRY:       United States
DOCUMENT TYPE:      Journal; Article; (JOURNAL ARTICLE)
LANGUAGE:           English
FILE SEGMENT:       Priority Journals
ENTRY MONTH:        200410
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ENTRY DATE: Entered STN: 24 Feb 2004
Last Updated on STN: 20 Oct 2004
Entered Medline: 19 Oct 2004

AB We reported previously that an angiogenesis inhibitor, E7820, inhibits in vitro tube formation of human umbilical vein endothelial cell through the suppression of integrin alpha2 expression. Here we describe the antiangiogenic and antitumor effects of E7820 in mice and discuss the feasibility of using platelet integrin alpha2 expression on platelets as a biological marker of the efficacy of E7820. Oral administration of E7820 significantly inhibited basic fibroblast growth factor-induced angiogenesis in Matrigel implants and human colon WiDr tumor-induced angiogenesis in a dorsal air sac model. Twice-daily treatment with E7820 clearly inhibited the s.c. tumor growth of seven tumor cell lines derived from human colon, breast, pancreas, and kidney, and completely suppressed the growth of human pancreatic KP-1 and human colon LoVo cell lines. Moreover, E7820 significantly inhibited the growth of KP-1 and human colon tumor Colo320DM cells orthotopically implanted in the pancreas and cecum, respectively. The efficacy of E7820 was comparable in the s.c. and orthotopic transplantation models. Immunohistochemical analyses using anti-CD31 antibody showed that E7820 significantly reduced microvessel density in orthotopically implanted KP-1 tumor. E7820 reduced integrin alpha2 expression on a megakaryocytic cell line, Dami cells, induced by phorbol 12-myristate 13-acetate treatment. It also decreased the expression level of integrin alpha2 on platelets withdrawn from mice bearing s.c. KP-1 tumor at a dosage close to that affording antitumor activity. These data demonstrate that E7820 showed a broad-spectrum antitumor effect in mice through inhibition of angiogenesis and indicate that the decrease of integrin alpha2 on platelets might serve as a biological marker for the antitumor efficacy of E7820.

L6 ANSWER 3 OF 4 MEDLINE on STN
ACCESSION NUMBER: 2002654027 MEDLINE Full-text
DOCUMENT NUMBER: PubMed ID: 12414636
TITLE: Sulfonamide derivative, E7820, is a unique angiogenesis inhibitor suppressing an expression of integrin alpha2 subunit on endothelium.
AUTHOR: Funahashi Yasuhiro; Sugi Naoko Hata; Semba Taro; Yamamoto Yuji; Hamaoka Shinichi; Tsukahara-Tamai Naoko; Ozawa Yoichi; Tsuruoka Akihiko; Nara Kazumasa; Takahashi Keiko; Okabe Tadashi; Kamata Junichi; Owa Takashi; Ueda Norihiro; Haneda Toru; Yonaga Masahiro; Yoshimatsu Kentaro; Wakabayashi Toshiaki
CORPORATE SOURCE: Tsukuba Research Laboratories, Eisai Co., Ltd., Ibaraki, Japan 300-2635.
SOURCE: Cancer research, (2002 Nov 1) Vol. 62, No. 21, pp. 6116-23.
Journal code: 2984705R. ISSN: 0008-5472.
PUB. COUNTRY: United States
DOCUMENT TYPE: Journal; Article; (JOURNAL ARTICLE)
LANGUAGE: English
FILE SEGMENT: Priority Journals
ENTRY MONTH: 200212
ENTRY DATE: Entered STN: 5 Nov 2002
Last Updated on STN: 17 Dec 2002
Entered Medline: 10 Dec 2002

AB In the process of angiogenesis, endothelial adhesion molecules play a significant role in vascular morphogenesis, in coordination with angiogenic factor signaling. Here we report that a novel angiogenesis inhibitor, E7820 (an aromatic sulfonamide derivative), inhibited in vitro proliferation and tube formation of human umbilical vascular endothelial cell (HUVEC). E7820

decreased integrin alpha2, 3, 5, and beta1 in confluent culture of HUVEC, and integrin alpha2 was initially suppressed in mRNA level, followed by decrement of integrins alpha3, 5, and beta1. The inhibition of integrin alpha2 expression in HUVEC showed dose dependence but did not alter the level of CD31. Up-regulation of integrin alpha2 by phorbol 12-myristate 13-acetate abrogated the inhibitory effect of E7820 on tube formation within type I collagen gel, whereas addition of antibody against integrin alpha2 canceled the phorbol 12-myristate 13-acetate effect. These results suggest that E7820 inhibited tube formation through the suppression of integrin alpha2. Oral administration of E7820 remarkably resulted in inhibition of tumor-induced angiogenesis in mouse dorsal air sac model, and tumor growth of human colorectal tumor cell lines (WiDr and LoVo) was inhibited in xenotransplanted model in mice. This is the first time that a small molecule has been shown to modulate integrins, and this finding may provide the basis for a new approach to antiangiogenic therapy through the suppression of integrin alpha2 on endothelium.

L6 ANSWER 4 OF 4 BIOSIS COPYRIGHT (c) 2008 The Thomson Corporation on STN

ACCESSION NUMBER: 2002:333164 BIOSIS Full-text
DOCUMENT NUMBER: PREV200200333164
TITLE: Profiling novel sulfonamide antitumor agents with cell-based phenotypic screens and array-based gene expression analysis.
AUTHOR(S): Yokoi, Akira; Kuromitsu, Junro; Kawai, Takatoshi; Nagasu, Takeshi; Sugi, Naoko Hata; Yoshimatsu, Kentaro; Yoshino, Hiroshi; Owa, Takashi [Reprint author]
CORPORATE SOURCE: Laboratory of Seeds Finding Technology, Eisai Co., Ltd., 5-1-3 Tokodai, Tsukuba, Ibaraki, 300-2635, Japan t-owa@hhc.eisai.co.jp
SOURCE: Molecular Cancer Therapeutics, (February, 2002) Vol. 1, No. 4, pp. 275-286. print. ISSN: 1535-7163.
DOCUMENT TYPE: Article
LANGUAGE: English
ENTRY DATE: Entered STN: 12 Jun 2002 Last Updated on STN: 18 Jul 2002

AB A series of small molecules from sulfonamide-focused libraries have been evaluated in these laboratories to discover novel antitumor agents. Cell-based screens using flow cytometric analysis revealed the presence of two distinct classes of cell cycle inhibitors in this series; one (including E7010 and ER-67865) arrested mitosis by preventing tubulin polymerization; and the other (including E7070 and ER-68487) caused a decrease in the S-phase fraction along with cell cycle perturbation in G1 and/or G2 via an unknown mechanism(s). To further characterize both classes of antitumor sulfonamides with respect to their effects on gene expression, we used oligonucleotide microarray analysis for representative compounds. Consistent with the phenotypic observations, essentially the same transcription profiles were found between E7010 and ER-67865 and also between E7070 and ER-68487. However, there was very little overlap between genes affected by E7010 and E7070. As a characteristic expression change for microtubule-depolymerizing agents, the down-regulation of alpha-tubulin transcripts was evident in both E7010- and ER-67865-treated cells. On the other hand, E7070 and ER-68487 repressed significantly the expression of a variety of genes involved in metabolic processes, cell cycle progression, immune response, and signal transduction. Of the compounds examined, E7010 and E7070 have progressed to clinical trials, demonstrating some objective responses in the Phase I setting. Described herein is profiling of novel anticancer drug candidates from the sulfonamide class based on phenotypic screens and gene expression

analysis. This includes a translational research that may suggest potentially useful markers for pharmacodynamic drug assessment in clinic.

FILE 'MARPAT' ENTERED AT 11:53:53 ON 05 SEP 2008
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FILE CONTENT: 1961-PRESENT VOL 149 ISS 9 (20080829/ED)

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MOST RECENT CITATIONS FOR PATENTS FROM MAJOR ISSUING AGENCIES
(COVERAGE TO THESE DATES IS NOT COMPLETE):

US 20080177068 24 JUL 2008
DE 202007007143 17 JUL 2008
EP 1944010 16 JUL 2008
JP 2008162998 17 JUL 2008
WO 2008089052 24 JUL 2008
GB 2444641 11 JUN 2008
FR 2911143 11 JUL 2008
RU 2330029 27 JUL 2008
CA 2615024 14 JUN 2008

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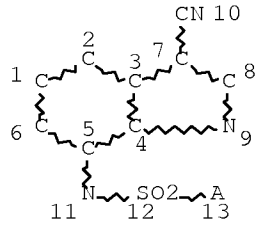
Effective December 15th the iteration and answer limits in MARPAT have increased from 100,000 to 200,000 for both on-line and batch searches. For more information on MARPAT search limits, type HELP SLIMITS at an arrow prompt.

L1 STR



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DEFAULT MLEVEL IS ATOM
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GRAPH ATTRIBUTES:
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NUMBER OF NODES IS 13

STEREO ATTRIBUTES: NONE

ATTRIBUTES SPECIFIED AT SEARCH-TIME:
ECLEVEL IS LIM ON ALL NODES

ALL RING(S) ARE ISOLATED

L8 37 SEA FILE=MARPAT SSS FUL L1 (MODIFIED ATTRIBUTES)

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L10 32 SEA ABB=ON PLU=ON L9 NOT L3
L11 18 SEA ABB=ON PLU=ON L10 AND (PY<2003 OR AY<2003 OR PRY<2003)
L12 10 SEA ABB=ON PLU=ON L11 AND (PREP OR SPN OR BPN OR IMF OR BMF OR RACT OR RCT OR RGT)/RL

Ans. set limited to patent/non-patent citations dated prior to 2003

RL-role; PREP-preparation; SPN-synthet. prep.; BPN-biosynth. prep.; IMF-indust. manuf.; BMF-bioindust. manuf.; RACT-reactant/reagent; RCT-reactant; RGT-reagent

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L13 10 SEA ABB=ON PLU=ON L12

L13 ANSWER 1 OF 10 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 144:22809 MARPAT Full-text
TITLE: Indole compounds
INVENTOR(S): Hsieh, Hsing-Pang; Liou, Jing-Ping; Chang, Jang-Yang; Chang, Chun-Wei
PATENT ASSIGNEE(S): Taiwan
SOURCE: U.S. Pat. Appl. Publ., 41 pp., Cont.-in-part of U.S. Ser. No. 318,337.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20050267108	A1	20051201	US 2005-195531	20050801
US 20030195244	A1	20031016	US 2002-318337	20021212
US 6933316	B2	20050823		
PRIORITY APPLN. INFO.:			US 2001-340317P	20011213
			US 2002-318337	20021212

OTHER SOURCE(S): CASREACT 144:22809
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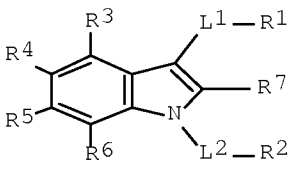

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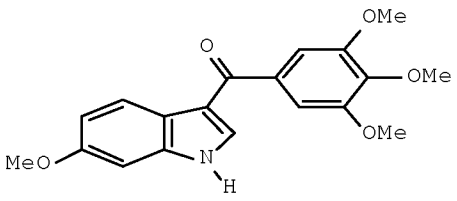

II

AB The title compds. [I; L1 = CO; L2 = a bond; R1 = aryl or heteroaryl; R2 = H, aryl, heteroaryl, halo, etc.; R3-R6 = halo, nitro, nitroso, CN, etc.; or R4 and R5, R3 and R4, or R5 and R6 taken together are O(CH2)nO; R7 = H, alkyl, alkenyl, alkynyl, etc.; n = 1-5], were prepared Thus, treating 6-methoxyindole with ZnCl2 and EtMgBr in CH2Cl2 in CH2Cl2 followed by addition of solution of 3,4,5-trimethoxybenzoyl chloride in CH2Cl2 and after 1 h AlCl3 afforded 72% II. Unexpectedly, when tested in cell growth inhibition assay, many compds. I had IC50 values of <5 μM and some of the test compds. had IC50 values as low as <10 nM. The compds. I were tested in tubulin polymerization assay and results showed that a test indole compound of 2 μM inhibited tubulin polymerization

L13 ANSWER 2 OF 10 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 144:22808 MARPAT Full-text
TITLE: Preparation of indole compounds for treating angiogenesis-related disorders
INVENTOR(S): Hsieh, Hsing-Pang; Liou, Jing-Ping; Chang, Jang-Yang; Chang, Chun-Wei
PATENT ASSIGNEE(S): Taiwan
SOURCE: U.S. Pat. Appl. Publ., 28 pp., Cont.-in-part of U.S. Ser. No. 318,337.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20050267194	A1	20051201	US 2005-195524	20050801
US 20030195244	A1	20031016	US 2002-318337	20021212
US 6933316	B2	20050823		
PRIORITY APPLN. INFO.:			US 2001-340317P	20011213
			US 2002-318337	20021212

OTHER SOURCE(S): CASREACT 144:22808
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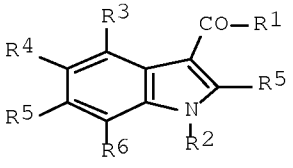

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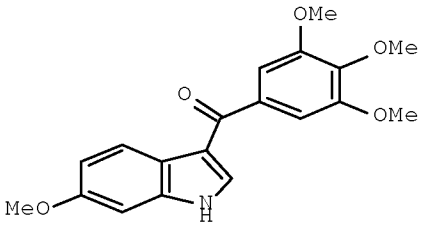

II

AB The invention relates to synthetic indole derivs. I [R2 is aryl or heteroaryl; R1, R3-R6 are independently H, alkenyl, aryl, heteroaryl, heterocyclyl, halo, nitro, nitroso, cyano, acyloxy, sulfonyl groups, etc.; or any two of R3-R6 may form O(CH2)1-5O] for use in inhibiting tubulin polymerization and treating cancer and other angiogenesis-related disorders. Thus, treating 6-methoxyindole with ZnCl2 and EtMgBr in CH2Cl2 followed by addition of a

solution of 3,4,5-trimethoxybenzoyl chloride in CH2Cl2 and after 1 h AlCl3 afforded 72% compound II. Some compds. of the invention showed IC50 values < 10 nM in the cell growth inhibition assay. Compds. I inhibited tubulin polymerization at 2 μM.

L13 ANSWER 3 OF 10 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 140:375087 MARPAT Full-text
TITLE: Preparation of bicyclic benzamides as histamine H3 receptor ligands useful in the treatment of neurological diseases
INVENTOR(S): Best, Desmond John; Orlek, Barry Sidney
PATENT ASSIGNEE(S): Glaxo Group Limited, UK
SOURCE: PCT Int. Appl., 51 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004037788	A1	20040506	WO 2003-EP11650	20031020
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003278119	A1	20040513	AU 2003-278119	20031020
EP 1554243	A1	20050720	EP 2003-769430	20031020
EP 1554243	B1	20061122		
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JP 2006505623	T	20060216	JP 2005-501524	20031020
AT 346044	T	20061215	AT 2003-769430	20031020
ES 2276125	T3	20070616	ES 2003-769430	20031020
US 20070105838	A1	20070510	US 2005-532373	20050421
PRIORITY APPLN. INFO.:			GB 2002-24557	20021022
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			WO 2003-EP11650	20031020

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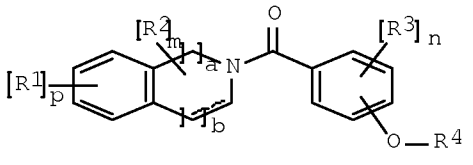

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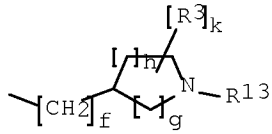

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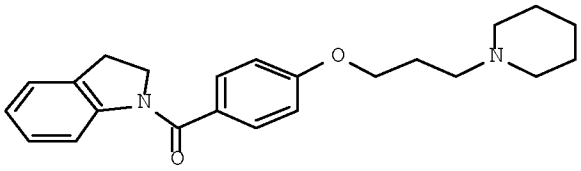

III

AB The title compds. [I; R1, R2 = halo, OH, CN, etc.; a, b = 0-2 (a and b cannot both = 0); R3 = halo, alkyl, alkoxy, CN, NH2, CF3; m, n = 0-2; p = 0-3 (when p = > 1 then two R1 may instead be linked to form a heterocyclyl); R4 = (CH2)qNR11R12, II (wherein q = 2-4; R11, R12 = alkyl; or NR11R12 = (un)substituted heterocyclyl; R13 = H, alkyl, cycloalkyl, alkylaryl, heterocyclyl; R14 = halo, alkyl, haloalkyl, OH, dialkylamino, alkoxy; f, k = 0-2; g = 0-2 and h = 0-3 (g and h cannot both be 0))], useful in the treatment of neurol. and psychiatric disorders, were prepared Thus, reacting 4-[3-(piperidin-1- yl)propoxy]benzoic acid hydrochloride (preparation given) with indoline afforded III which exhibited pKb ≥ 8.5 in the histamine H3 functional antagonist assay. The pharmaceutical composition comprising the compound I is claimed.

L13 ANSWER 4 OF 10 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 139:337888 MARPAT Full-text
TITLE: Preparation of indole-3-carbonitriles as excitatory amino acid antagonists for the treatment of neurodegenerative diseases
INVENTOR(S): Schadt, Oliver; Boettcher, Henning; Leibrock, Joachim; Schiemann, Kai; Heinrich, Timo; Hoelzemann, Guenter; Van Amsterdam, Christoph; Bartoszyk, Gerd; Seyfried, Christoph
PATENT ASSIGNEE(S): Merck Patent G.m.b.H., Germany
SOURCE: PCT Int. Appl., 104 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003087086	A2	20031023	WO 2003-EP3806	20030411
WO 2003087086	A3	20040722		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,

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SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR,
NE, SN, TD, TG

DE 10217006	A1	20031106	DE 2002-10217006	20020416
CA 2482655	A1	20031023	CA 2003-2482655	20030411
AU 2003224064	A1	20031027	AU 2003-224064	20030411
EP 1497279	A2	20050119	EP 2003-720455	20030411
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2005523310	T	20050804	JP 2003-584042	20030411
US 20050153980	A1	20050714	US 2004-511155	20041014

PRIORITY APPLN. INFO.: DE 2002-10217006 20020416
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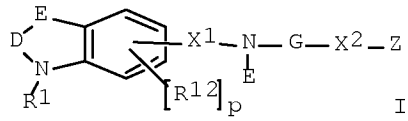

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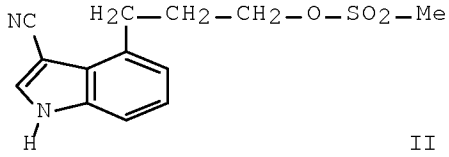

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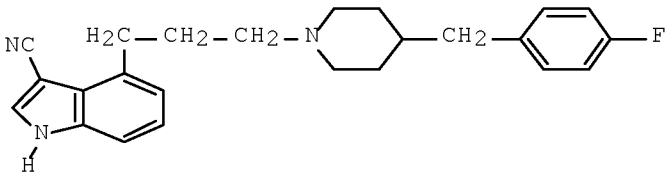

III

AB Title compds. I [R1 = H, A, SO2A; A = alkyl, alkoxyalkyl; D-E = R2C=CR4, R2R3C-CR4R5; R2, R3, R4, R5 = H, A, cycloalkyl, etc.; X1 = (CHR7)g, (CHR7)h-Q-(CHR8)k; Q = O, S, NR6, etc.; R6 = H, A, cycloalkyl; R7, R8, R12 = definition as given for R2-R5; g = 1-6; h, k = 0-6; p = 0-3; E = H, A, cycloalkyl, etc.; G = (un)substituted alkylene; E and G together form (un)substituted mono or bicyclic heterocycle; X2 = definition as given for X1; Z = H, (un)substituted aromatic carbocyle] and their pharmaceutically acceptable salts and formulations were prepared For example, N-alkylation of 4-(4-fluorobenzyl)piperideine with methanesulfonic ester II, e.g., prepared from indole-4-carboxylic acid Me ester in 7-steps, afforded the hydrochloride salt of indole-3-carbonitrile III after work-up. Compds. I are claimed useful as excitatory amino acid antagonists (no data provided) and as 5-HT reuptake inhibitors.

L13 ANSWER 5 OF 10 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 139:6885 MARPAT Full-text
TITLE: Preparation of substituted indolizine-like compounds to inhibit TNF-α production
INVENTOR(S): Cai, Guolin; Chau, Jennifer N.; Dominguez, Celia; Rishton, Gilbert M.; Lu, Yuelie
PATENT ASSIGNEE(S): Amgen Inc., USA
SOURCE: PCT Int. Appl., 202 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003044021	A2	20030530	WO 2002-US36699	20021116
WO 2003044021	A3	20031218		
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 20030195221	A1	20031016	US 2002-298205	20021115
US 6921762	B2	20050726		
CA 2466072	A1	20030530	CA 2002-2466072	20021116
AU 2002352722	A1	20030610	AU 2002-352722	20021116
AU 2002352722	B2	20061012		
EP 1448564	A2	20040825	EP 2002-789671	20021116
EP 1448564	B1	20060419		
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AT 323705	T	20060515	AT 2002-789671	20021116
PT 1448564	T	20060630	PT 2002-789671	20021116
ES 2262879	T3	20061201	ES 2002-789671	20021116
MX 2004PA04552	A	20040813	MX 2004-PA4552	20040513
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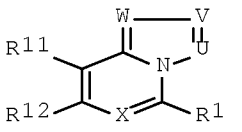

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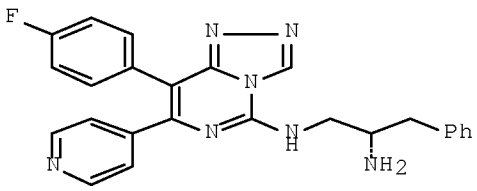

II

AB Title compds. I [X = CR2, N; R1-2 = ZY, Y provided that the total number of (hetero)aryl, cycloalkyl and heterocyclyl radicals in R1-2 = 0-3; U, V, W = CR6, N provided when U = N, V = CR6; R6 = H, halo, alkyl, alkoxy, etc.; Z = alk(en/yn)yl, heterocyclyl, etc.; Y = H, halo, NO2, etc.; R11 = (hetero)aryl; R12 = N-heteroaryl] are prepared For instance, Et [4-fluorophenyl]acetate is reacted with 4-cyanopyridine, MeNCS and MeI (DMF, KOBu-t/HOBu-t) to give 5-(4-fluorophenyl)-3-methyl- 2-(methylthio)-6-(pyridin-4-yl)-3H-pyrimidin-4-one. This intermediate is treated with POCl3 (120°, 16 h) and the product treated with hydrazine (EtOH, 70°) followed by (S)-3-phenylpropane-1,2- diamine (preparation given) to give II. Selected example compds. exhibit activities in the THP1 cell assay (LPS induced TNF release) with IC50 ≤ 20 μM. I are

effective for treatment of TNF-α, IL-1β, IL-6 and/or IL-8 mediated diseases and other maladies, such as cancer, pain and diabetes.

L13 ANSWER 6 OF 10 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 138:271682 MARPAT Full-text
TITLE: Preparation of cyclic hydroxamic acids as inhibitors of matrix metalloproteinases and/or TNF-α converting enzyme for treatment of inflammatory disorders
INVENTOR(S): Ott, Gregory; Chen, Xiao-Tao; Duan, Jingwu; Lu, Zhonghui
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: PCT Int. Appl., 344 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003024899	A2	20030327	WO 2002-US29685	20020916
WO 2003024899	A3	20031127		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002341715	A1	20030401	AU 2002-341715	20020916
US 20030139388	A1	20030724	US 2002-244626	20020916
US 6740649	B2	20040525		
EP 1427408	A2	20040616	EP 2002-775865	20020916
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				

PRIORITY APPLN. INFO.: US 2001-322630P 20010917
WO 2002-US29685 20020916

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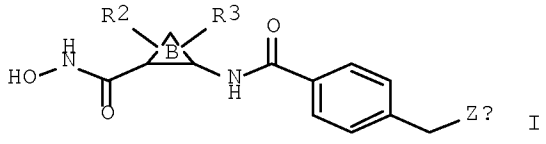

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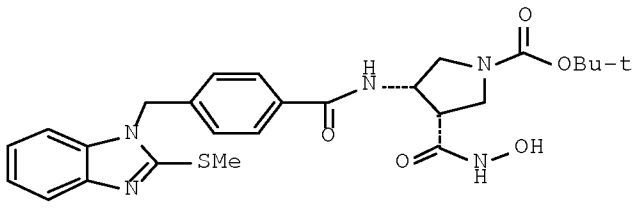


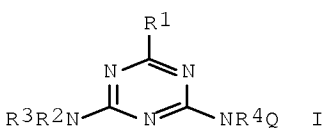
II

AB Title compds. I [wherein ring B = (un)substituted 4-7 membered (hetero)cyclic ring containing 0-2 O, N, NR1, or SOp atoms and 0-3 carbonyl groups; R1 and R2 = independently Q, alk(en/yn)ylene-Q, or (un)substituted alkylene-Q interrupted by O, NRa, CO, CO2, CONRa, NRaCO, NRaCO2, NRaCONRa, SOp, NRaSO2, or SO2NRa; or R1 = (un)substituted alkylene-Q interrupted by OCO, OCO2, or OCONRa; Q = H or (un)substituted (hetero)cyclyl; R3 = Q1, Cl, F, alk(en/yn)ylene-Q1, or (un)substituted alkylene-Q1 interrupted by O, NR1, NRaCO, CONRa, CO, CO2, SOp, or SO2NRa; Q1 = H or (un)substituted Ph, naphthyl, or heterocyclyl; Za = (un)substituted benzimidazolyl, indolyl, imidazopyridinyl, pyrazolylpyridinyl, benzofuranyl, benzothiazinyl, quinolinyl, etc.; Ra = independently H, alkyl, Ph, or benzyl; p = 0-2; or stereoisomers or pharmaceutically acceptable salts thereof] were prepared as inhibitors of matrix metalloproteinases (MMP), TNF-a converting enzyme (TACE), aggrecanase, or a combination thereof. For example, reaction of benzyl Me maleate with paraformaldehyde and glycine gave benzyl Me (cis)-3,4-pyrrolidinedicarboxlyate (100%). BOC-protection (64%), debenzylation (96%), resolution of the (3S,4S)-isomer with (S)-α-methylbenzylamine, conversion to the carbamate with DPPA and PhCH2OH (76%), and Pd catalyzed hydrogenation (100%) provided Me (3S,4S)-4-amino-1-(tert-butoxycarbonyl)-3-pyrrolidinecarboxylate. Coupling of the amine with 4-[(2-methylthio-1H-benzimidazol-1-yl)methyl]benzoic acid (preparation given) afforded the amide (99%), which was treated with NH2OH•HCl/MeONa to give the hydroxamic acid (3S,4S)-II (33%). A number of the compds. of the invention inhibited MMP-1, 2, 3, 7, 8, 9, 10, 12, 13, 14, 15, and/or 16 with Ki values of ≤ 10 μM. Thus, I are useful for the treatment of a wide variety of inflammatory disorders (no data).

L13 ANSWER 7 OF 10 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 136:114239 MARPAT Full-text
TITLE: Triazine derivatives and agrochemicals containing them
INVENTOR(S): Kita, Hiroshi; Nakata, Hisashi; Teraji, Hiroki; Sakurai, Yasuhiro; Morimoto, Katsuyuki; Watanabe, Shigeomi; Nakahira, Kunimitsu; Hamada, Nobuyuki; Oki, Toru; Noguchi, Junko
PATENT ASSIGNEE(S): Nissan Chemical Industries, Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 22 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2002020383	A	20020123	JP 2000-198879	20000630

PRIORITY APPLN. INFO.: JP 2000-198879 20000630
GI



I

AB Triazine derivs. I (R1 = C1-6 alkyl, C1-6 haloalkyl, C1-6 azidoalkyl, C1-6 cyanoalkyl; R2-R4 = H, C1-4 alkyl, C1-4 alkyl-carbonyl, C1-4 alkoxy-carbonyl, C1-4 alkylsulfonyl, phenylsulfonyl, N-(C1-4-alkyl)carbamoyl, N,N-di(C1-4 alkyl)carbamoyl, N,N-di(C1-4 alkyl)sulfamoyl; Q = C4-6 cycloalkyl condensed with heterocycle substituted with ≥1 selected from C1-4 alkyl, C1-4 haloalkyl, C1-4 alkoxy, C1-4 alkylthio, cyano, NO2, halo; 1-2 of C atom of the cycloalkane ring may be replaced with O and substituted with C1-4 alkyl) are prepared Agrochems. and herbicides containing I are also claimed. N-[4-amino-6-(1-fluoroisopropyl)-1,3,5-triazin-2-yl]-4,5,6,7-tetrahydrobenzo[b]thiophen-4-ylamine (preparation given) showed herbicidal activity against Echinochloa crus-galli, Scirpus hotarui, and Monochoria vaginalis. Agrochem. formulations of I are also given.

L13 ANSWER 8 OF 10 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 125:247827 MARPAT Full-text
TITLE: Preparation of N-(heteroarylphenyl)oxazolidin-2-ones as bactericides
INVENTOR(S): Hutchinson, Douglas K.
PATENT ASSIGNEE(S): Pharmacia & Upjohn Company, USA
SOURCE: PCT Int. Appl., 79 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9623788	A1	19960808	WO 1996-US718	19960129
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD				
CA 2208603	A1	19960808	CA 1996-2208603	19960129
AU 9648998	A	19960821	AU 1996-48998	19960129
AU 703465	B2	19990325		
BR 9607017	A	19971028	BR 1996-7017	19960129
EP 807112	A1	19971119	EP 1996-905168	19960129
EP 807112	B1	20010905		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV				
CN 1172484	A	19980204	CN 1996-191740	19960129
CN 1075073	C	20011121		
JP 10513446	T	19981222	JP 1996-523572	19960129
HU 9801373	A2	19990628	HU 1998-1373	19960129
HU 9801373	A3	19990728		
NZ 302844	A	19990629	NZ 1996-302844	19960129
RU 2154645	C2	20000820	RU 1997-114833	19960129
AT 205205	T	20010915	AT 1996-905168	19960129
ES 2163004	T3	20020116	ES 1996-905168	19960129
PT 807112	T	20020228	PT 1996-905168	19960129
PL 185872	B1	20030829	PL 1996-321663	19960129
NO 9703550	A	19971003	NO 1997-3550	19970801
NO 309526	B1	20010212		

MX 9705881	A	20000331	MX 1997-5881	19970801
FI 9703217	A	19970804	FI 1997-3217	19970804
US 5910504	A	19990608	US 1997-875800	19970804
HK 1008898	A1	20020906	HK 1998-109662	19980804
PRIORITY APPLN. INFO.:			US 1995-384278	19950203
			WO 1996-US718	19960129

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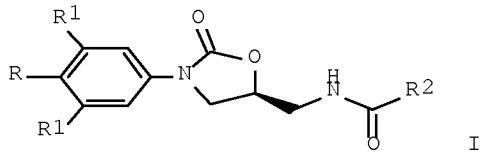


I

AB Title compds. [I; R = (un)substituted (benz- or pyridoanellated) pyrrolo, imidazo, triazolo, etc.; R1 = H, F, Cl, OMe; R2 = H, NH2, alkyl, alkoxy, etc] were prepared Thus, 3,4-F2C6H3NO2 was condensed with pyrrole and the reduced product amidated by ClCO2CH2Ph to give 4-RC6H4NHCO2CH2Ph (R = pyrrolo) which was cyclocondensed with (R)-glycidyl butyrate and the product converted in 3 steps to I (R = pyrrolo, R1 = H, R2 = Me). The latter had MIC of <0.5μg/mL against Streptococcus pneumoniae UC 9912 and Staphylococcus aureus UC 9213.

L13 ANSWER 9 OF 10 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 120:257293 MARPAT Full-text
TITLE: Silver halide color photographic material
INVENTOR(S): Naruse, Hideaki; Suzuki, Makoto; Sato, Takehiko
PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan
SOURCE: Eur. Pat. Appl., 155 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 544319	A1	19930602	EP 1992-120291	19921127
EP 544319	B1	19980204		
R: DE, FR, GB, NL				
JP 05150427	A	19930618	JP 1991-335917	19911127
US 5338651	A	19940816	US 1992-981860	19921127
PRIORITY APPLN. INFO.:			JP 1991-335917	19911127

GI For diagram(s), see printed CA Issue.

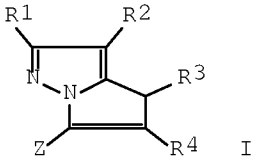
AB A Ag halide color photog. material which provides good color developability and excellent color reproducibility in every hue comprises ≥1 cyan dye-forming emulsion layer, a magenta dye-forming emulsion layer, and a yellow dye-forming emulsion layer, wherein the cyan dye-forming emulsion layer contains ≥1 cyan coupler selected from a group of compds. represented by the formulas I and II (Za, Zb = CR3 or N provided that 1 of Za and Zb is N, the other is CR3; R1, R2 = an electron-attracting group having a Hammett's substituent constant σp of ≥20.65; R3 = H or a substituent group; X1 = H or a group capable of splitting off upon reaction with an oxidized aromatic primary amine color developing agent) which may be a part of a polymer or copolymer. The yellow dye-forming layer contains ≥1 yellow coupler selected from a group of compds. represented

by the formulas III and IV (R4 = a monovalent group excluding H; Q = nonmetallic atoms necessary to form a 3-5-membered hydrocarbon ring or a 3-5-membered heterocyclic ring containing ≥1 hetero atom selected from N, S, O, and P; R5 = H, halogen, alkoxy, aryloxy, alkyl, or amino; X2 = H or a group capable of splitting off upon reaction with an oxidized aromatic primary amine color developing agent; r = an integer of 0-4; R6, R8-10 = a substituent group; R1 = halogen or alkoxy; X3 = V or NR11R12; R11 = alkyl; R12 = alkyl or aryl; Zc = a group capable of splitting off upon reaction with an oxidized aromatic primary amine color developing agent; Y = alkoxy carbonyl, sulfamoyl etc.; p = 0-2; m = 0-3; n = 0-4).

L13 ANSWER 10 OF 10 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 119:128332 MARPAT Full-text
TITLE: Silver halide color photographic material
INVENTOR(S): Nakagawa, Hajime; Shimada, Yasuhiro
PATENT ASSIGNEE(S): Fuji Photo Film Co Ltd, Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 54 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 05100381	A	19930423	JP 1991-289531	19911009

PRIORITY APPLN. INFO.: JP 1991-289531 19911009
GI



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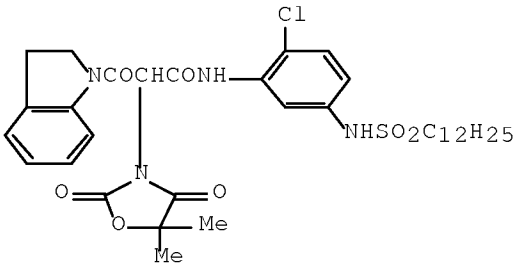

II

AB The title material comprises a support having thereon a silver halide emulsion layer containing one or more cyan dye-forming couplers represented by I and a silver halide emulsion layer containing one or more yellow dye-forming couplers (Markush structure given). For I, R1 = H or substituent; R2, R4 = substituent; R3 = electron-attracting group; Z = H or group to be released upon coupling reaction with an oxidized aromatic primary amine color developing agent. Compound II is an example of the above-mentioned yellow dye-forming couplers. The title material gives excellent color reproduction

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FILE CONTENT:1840 - 31 Aug 2008 VOL 149 ISS 10

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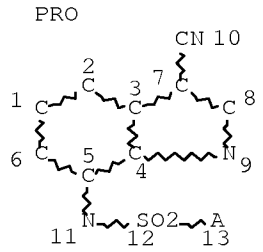

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DEFAULT MLEVEL IS ATOM
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NUMBER OF NODES IS 13

STEREO ATTRIBUTES: NONE
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SEARCH TIME: 00.00.01

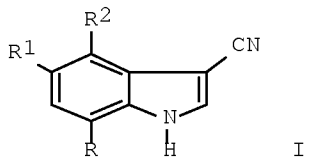
L16 ANSWER 1 OF 1 CASREACT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 142:336244 CASREACT Full-text
TITLE: Method for producing sulfonamide-containing indole derivatives

INVENTOR(S): Hayashi, Kenji; Abe, Taichi; Ozeki, Naoki; Akamatsu, Hiroshi
PATENT ASSIGNEE(S): Eisai Co., Ltd., Japan
SOURCE: PCT Int. Appl., 23 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005026119	A1	20050324	WO 2004-JP12650	20040901
US 20070037854	A1	20070215	US 2006-571285	20060309

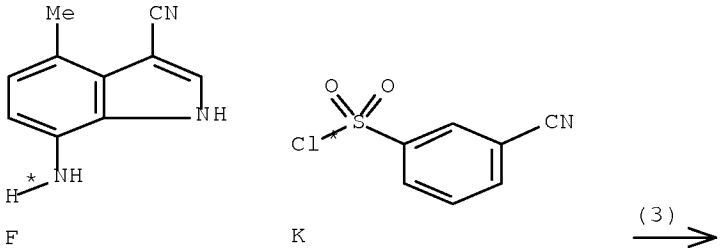
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: JP 2003-318974 20030910
WO 2004-JP12650 20040901
OTHER SOURCE(S): MARPAT 142:336244
GI



I

AB Disclosed is a method for producing a compound I [R1 and R2 independently represent a hydrogen atom, a C1-4 alkyl group or the like; R represents ASO2NH; A represents a cyanophenyl group or the like] which is characterized by reacting a compound I (wherein R1 and R2 independently represent a hydrogen atom, a C1-4 alkyl group or the like; R represents NH2) with a compound represented by ASO2Cl (A represents a cyanophenyl group or the like) in a mixed solvent of water and an acetic acid C1-6 alkyl ester in the presence of a base. The title compds. are useful as antitumor agents (no data). Thus, a mixture of 7-amino-3-cyano-4-methyl-1H-indole and 3-cyanobenzenesulfonyl chloride in Me acetate and water containing pyridine was stirred for 2 h 40 min to give, after workup, N-(3-cyano-4-methyl-1H-indol-7-yl)-3-cyanobenzenesulfonamide.



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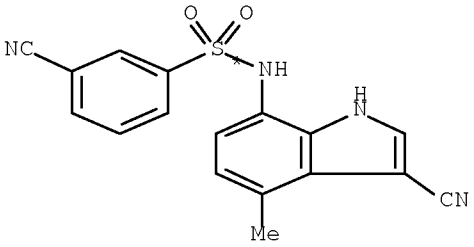

L

RX(3) RCT F 289483-87-0, K 56542-67-7
RGT M 110-86-1 Pyridine
PRO L 289483-69-8
SOL 79-20-9 AcOMe, 7732-18-5 Water
CON 160 minutes, room temperature
REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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FILE 'CHEMINFORMRX' ENTERED AT 11:58:55 ON 05 SEP 2008
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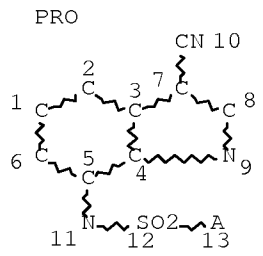
L14 STR



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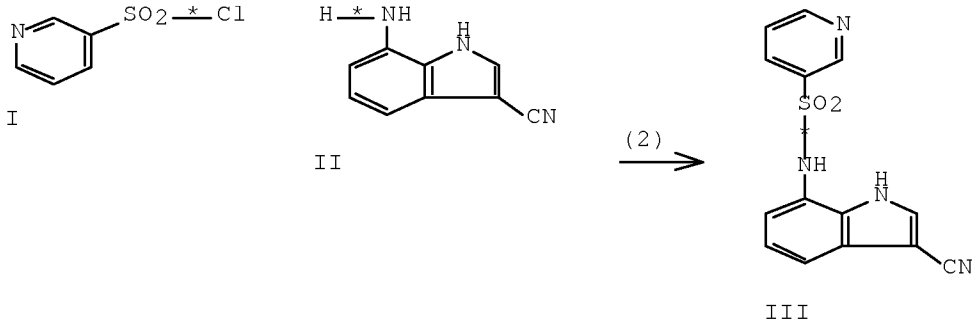
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 13

STEREO ATTRIBUTES: NONE
L16 1 SEA FILE=CASREACT SSS FUL L14 (3 REACTIONS)
L17 1 SEA L16

L17 ANSWER 1 OF 1 CHEMINFORMRX COPYRIGHT 2008 FIZ CHEMIE on STN
AN 200249130 CHEMINFORMRX Full-text
TI Synthesis and Biological Evaluation of N-(7-Indolyl)-3-pyridinesulfonamide Derivatives as Potent Antitumor Agents.
AU OWA, T.; YOSHINO, H.; OKAUCHI, T.; OKABE, T.; OZAWA, Y.; SUGI, N. H.; YOSHIMATSU, K.; NAGASU, T.; KOYANAGI, N.; KITOH, K.
CS Tsukuba Res. Lab., Eisai Co., Ltd., Tsukuba, Ibaraki 300-26, Japan
SO Bioorg. Med. Chem. Lett., 12(16), 2097-2100 (2002)
CODEN: BMCLE8 ISSN: 0960-894X
LA English

RX(2) OF 14 A + F ===> G



RX(2) RCT I, 626945
II, 916229
RGT 187 (110-86-1), Py
SOL 83 (141-78-6), Et-O-Ac
PRO III, 916230
NTE reaction:I (II) -> III, example: 2

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FILE 'JAPIO' ENTERED AT 11:59:49 ON 05 SEP 2008
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FILE 'DISSABS' ENTERED AT 11:59:49 ON 05 SEP 2008
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erved.

L18 59214 SEA ABB=ON PLU=ON ("KENJI H"? OR "HAYASHI K"?)/AU
L19 48513 SEA ABB=ON PLU=ON ("ABE T"? OR "TAICHI A"?)/AU
L20 564 SEA ABB=ON PLU=ON ("OZEKI N"? OR "NAOKI O"?)/AU
L21 2602 SEA ABB=ON PLU=ON ("HIROSHI A"? OR "AKAMATSU H"?)/AU
L22 2 SEA ABB=ON PLU=ON L18 AND L19 AND L20 AND L21
L23 126 SEA ABB=ON PLU=ON L18 AND ((L19 OR L20 OR L21))
L24 22 SEA ABB=ON PLU=ON L19 AND (L20 OR L21)
L25 5 SEA ABB=ON PLU=ON L20 AND L21
L26 252 SEA ABB=ON PLU=ON ((L18 OR L19 OR L20 OR L21) OR (L23 OR L24)) AND (?SULFON? OR ?SULPHON?)(10A)(PREP? OR MANUF? OR PRODUCTION OR PRODUCE# OR PRODUCING)
L27 13 SEA ABB=ON PLU=ON L26 AND ?INDOL?
L28 16 SEA ABB=ON PLU=ON L22 OR L25 OR L27
L29 12 DUP REM L28 (4 DUPLICATES REMOVED)

L29 ANSWER 1 OF 12 CAPLUS COPYRIGHT 2008 ACS on STN DUPLICATE 1
ACCESSION NUMBER: 2006:494133 CAPLUS Full-text
DOCUMENT NUMBER: 145:8025
TITLE: Process for the preparation of N-(3-chloro-1H-indol-7-yl)-4-sulfamoylbenzenesulfonamide from 7-nitroindole and 4-aminobenzenesulfonamide
INVENTOR(S): Ikuta, Hironori; Shimomura, Naoyuki; Akamatsu, Hiroshi; Matsuo, Kimihiro
PATENT ASSIGNEE(S): Eisai Co., Ltd., Japan
SOURCE: PCT Int. Appl., 35 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006054491	A1	20060526	WO 2005-JP20717	20051111

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR,

BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD,
TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM,
ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

JP 2008031042	A	20080214	JP 2004-332758	20041117
PRIORITY APPLN. INFO.:			JP 2004-332758 A	20041117

AB A process for the preparation of N-(3-chloro-1H-indol -7-yl)-4-sulfamoylbenzenesulfonamide (I), useful as antitumor agent and so on, is disclosed. 7-Nitroindole was chlorinated with N-chlorosuccinimide in a water-containing solvent (e.g., THF-H2O) followed by Ir/C mediated reduction with H2 to produce 3-chloro-7-aminoindole. This compound or its hydrochloride was reacted in the presence of a base such as β-picoline with 4-sulfamoylbenzenesulfonyl chloride, which was synthesized from 4-aminobenzenesulfonamide by treatment with NaNO2/HCl and subsequent chlorosulfonylation with SO2 in the presence of CuCl, to afford I with high purity and high yield. Effects of reaction conditions and reagents on the yields of several steps were reported.

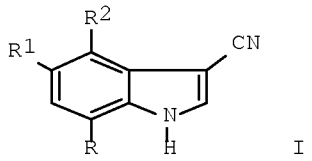
REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L29 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2008 ACS on STN DUPLICATE 2

ACCESSION NUMBER: 2005:260024 CAPLUS Full-text
DOCUMENT NUMBER: 142:336244
TITLE: Method for producing sulfonamide -containing indole derivatives
INVENTOR(S): Hayashi, Kenji; Abe, Taichi; Ozeki, Naoki; Akamatsu, Hiroshi
PATENT ASSIGNEE(S): Eisai Co., Ltd., Japan
SOURCE: PCT Int. Appl., 23 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005026119	A1	20050324	WO 2004-JP12650	20040901
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 20070037854	A1	20070215	US 2006-571285	20060309
PRIORITY APPLN. INFO.:			JP 2003-318974 A	20030910
			WO 2004-JP12650 W	20040901

OTHER SOURCE(S): CASREACT 142:336244; MARPAT 142:336244
GI



I

AB Disclosed is a method for producing a compound I [R1 and R2 independently represent a hydrogen atom, a C1-4 alkyl group or the like; R represents ASO2NH; A represents a cyanophenyl group or the like] which is characterized by reacting a compound I (wherein R1 and R2 independently represent a hydrogen atom, a C1-4 alkyl group or the like; R represents NH2) with a compound represented by ASO2Cl (A represents a cyanophenyl group or the like) in a mixed solvent of water and an acetic acid C1-6 alkyl ester in the presence of a base. The title compds. are useful as antitumor agents (no data). Thus, a mixture of 7-amino-3-cyano-4-methyl-1H-indole and 3-cyanobenzenesulfonyl chloride in Me acetate and water containing pyridine was stirred for 2 h 40 min to give, after workup, N-(3-cyano-4-methyl-1H-indol-7-yl)-3-cyanobenzenesulfonamide.

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L29 ANSWER 3 OF 12 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:260023 CAPLUS Full-text
DOCUMENT NUMBER: 142:341835
TITLE: Preparation of crystals of N-(3-cyano-4-methyl-1H-indol-7-yl)-3-cyanobenzenesulfonamide
INVENTOR(S): Takahashi, Keiko; Hayashi, Kenji; Abe, Taichi; Omae, Takao; Kato, Takashi
PATENT ASSIGNEE(S): Eisai Co., Ltd., Japan
SOURCE: PCT Int. Appl., 47 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005026118	A1	20050324	WO 2004-JP12649	20040901
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004272400	A1	20050324	AU 2004-272400	20040901
CA 2536995	A1	20050324	CA 2004-2536995	20040901
EP 1666463	A1	20060607	EP 2004-772605	20040901

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR

CN 1849305	A	20061018	CN 2004-80026069		20040901
BR 2004014314	A	20061031	BR 2004-14314		20040901
CN 101165049	A	20080423	CN 2007-10166794		20040901
MX 2006PA02732	A	20060605	MX 2006-PA2732		20060309
NO 2006001545	A	20060609	NO 2006-1545		20060405
IN 2006CN01232	A	20070810	IN 2006-CN1232		20060407
US 20070082941	A1	20070412	US 2006-571279		20061226
PRIORITY APPLN. INFO.:			JP 2003-318953	A	20030910
			CN 2004-80026069	A3	20040901
			WO 2004-JP12649	W	20040901

AB Claimed are the title crystals. The title compound is an antitumor agent (no data). When examined by X-ray powder diffractometry, the above crystals have a diffraction peak at the diffraction angle (2θ±0.2°)19.1°. Crystals of this invention showed high photostability. Formulations containing crystals of this invention are given.

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L29 ANSWER 4 OF 12 BIOSIS COPYRIGHT (c) 2008 The Thomson Corporation on STN

ACCESSION NUMBER: 2005:546996 BIOSIS Full-text
DOCUMENT NUMBER: PREV200510344915
TITLE: Condensed imidazole compounds and a therapeutic agent for diabetes mellitus.
AUTHOR(S): Asano, Osamu [Inventor]; Harada, Hitoshi [Inventor]; Yoshikawa, Seiji [Inventor]; Watanabe, Nobuhisa [Inventor]; Inoue, Takashi [Inventor]; Horizoe, Tatsuo [Inventor]; Yasuda, Nobuyuki [Inventor]; Ohashi, Kaya [Inventor]; Minami, Hiroe [Inventor]; Nagaoka, Junsaku [Inventor]; Murakami, Manabu [Inventor]; Kobayashi, Seiichi [Inventor]; Tanaka, Isao [Inventor]; Kawata, Tsutomu [Inventor]; Shimomura, Naoyuki [Inventor]; Akamatsu, Hiroshi [Inventor]; Ozeki, Naoki [Inventor]; Shimizu, Toshikazu [Inventor]; Hayashi, Kenji [Inventor]; Haga, Toyokazu [Inventor]; Negi, Shigeto [Inventor]; Naito, Toshihiko [Inventor]
CORPORATE SOURCE: Ibaraki, Japan
ASSIGNEE: Eisai Co., Ltd.
PATENT INFORMATION: US 06841549 20050111
SOURCE: Official Gazette of the United States Patent and Trademark Office Patents, (JAN 11 2005)
CODEN: OGUPE7. ISSN: 0098-1133.
DOCUMENT TYPE: Patent
LANGUAGE: English
ENTRY DATE: Entered STN: 7 Dec 2005
Last Updated on STN: 7 Dec 2005

AB The present invention provides a preventive or therapeutic agent for diabetes mellitus and diabetic complications, which is a new type based on an adenosine A2 receptor antagonist action. That is, it provides a novel condensed imidazole compound which has an adenosine A2 receptor antagonist action, is effective for preventing or treating diabetes mellitus and diabetic complications, and is represented by the formula (I); (wherein R(1)represents e.g. an amino group which may be substituted with an alkyl group; R(2

)represents e.g. hydrogen atom, an alkyl group, a cycloalkyl group or an alkyl group, alkenyl group or alkynyl group which may be substituted with hydrox etc.; R(3)represents e.g. an optionally substituted alkyl group, alkenyl group, alkynyl group, aryl group, heteroaryl group, pyridinone group, pyrimidinone group or piperadinone group; Ar represents e.g. an optionally substituted aryl or heteroaryl group; and Q and W are the same as or different from each other and each represents N or CH), a pharmacologically acceptable salt or hydrates thereof.

L29 ANSWER 5 OF 12 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2003:282533 CAPLUS Full-text
DOCUMENT NUMBER: 138:304304
TITLE: Preparation of difluoroalkene derivatives as pest control agents containing the same, and intermediate therefor
INVENTOR(S): Abe, Tetsuya; Tamai, Ryuji; Ito, Minoru; Tamaru, Masatoshi; Yano, Hiroyuki; Takahashi, Satoru; Muramatsu, Norimichi
PATENT ASSIGNEE(S): Kumiai Chemical Industry Co., Ltd., Japan; Ihara Chemical Industry Co., Ltd.
SOURCE: PCT Int. Appl., 195 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003029211	A1	20030410	WO 2002-JP10142	20020930
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002343935	A1	20030414	AU 2002-343935	20020930
EP 1439169	A1	20040721	EP 2002-775265	20020930
EP 1439169	B1	20080806		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
US 20040248872	A1	20041209	US 2004-491128	20040329
US 7273878	B2	20070925		

PRIORITY APPLN. INFO.:	JP 2001-299687	A	20010928
	JP 2002-142329	A	20020517
	WO 2002-JP10142	W	20020930

OTHER SOURCE(S): MARPAT 138:304304
AB The difluoroalkenyl heterocyclecarboxylate, -thiocarboxylates, or dithiocarboxylate derivs. represented by the general formula Q-C(:L1)-L2-(CH2)n-C(CF3):CF2 or pharmacol. acceptable salts thereof (wherein L1 and L2 are the same or different and each represents oxygen or sulfur; n is an integer of 2 to 8; and Q represents an optionally substituted 5- to 12-

membered heterocyclic group having any desired heteroatom selected among nitrogen, oxygen, and sulfur wherein the heteroatom in the heterocyclic ring is a nitrogen, it may be oxidized to N-oxide), which are useful as insecticides, acaricides, and nematocides, are prepared These compds. are sufficiently effective in controlling various pests even when used in a small dose and are highly safe for crops, natural enemies to the pests, and animals. Thus, 4-phenyl-1,2,3-thiadiazole-5-carboxylic acid 0.23, 6,6-difluoro-5-methyl-5-hexenol 0.17, and 4-dimethylaminopyridine 0.13 g were dissolved in 4 mL CH2Cl2, treated with 0.29 g 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride at room temperature, and stirred for 20 h to give 6,6-difluoro-5-methyl-5-hexenyl 4-phenyl-1,2,3-thiadiazole-5-carboxylate (I). I and 4,4-difluoro-3-methyl-3-butenyl 6-butoxy-2-methylpyrimidine-4- carboxylate at 500 ppm controlled ≥90% 4th instar larvae of Nilaparvata lugens.

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L29 ANSWER 6 OF 12 CAPLUS COPYRIGHT 2008 ACS on STN DUPLICATE 3

ACCESSION NUMBER: 2001:31502 CAPLUS Full-text

DOCUMENT NUMBER: 134:100881

TITLE: Preparation of fused imidazole compounds and remedies for diabetes mellitus

INVENTOR(S): Asano, Osamu; Harada, Hitoshi; Yoshikawa, Seiji; Watanabe, Nobuhisa; Inoue, Takashi; Horizoe, Tatsuo; Yasuda, Nobuyuki; Oohashi, Kaya; Minami, Hiroe; Nagaoka, Junsaku; Murakami, Manabu; Kobayashi, Seiichi; Tanaka, Isao; Kawata, Tsutomu; Shimomura, Naoyuki; Akamatsu, Hirofumi; Ozeki, Naoki; Shimizu, Toshikazu; Hayashi, Kenji; Haga, Toyokazu; Negi, Shigeto; Naito, Toshihiko

PATENT ASSIGNEE(S): Eisai Co., Ltd., Japan

SOURCE: PCT Int. Appl., 130 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

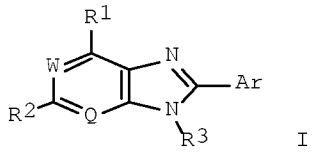
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2001002400	A1	20010111	WO 2000-JP4358		20000630
W: AU, BR, CA, CN, HU, IL, JP, KR, MX, NO, NZ, RU, US, ZA					
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE					
CA 2376835	A1	20010111	CA 2000-2376835		20000630
AU 2000055717	A	20010122	AU 2000-55717		20000630
AU 778450	B2	20041209			
EP 1221444	A1	20020710	EP 2000-940909		20000630
EP 1221444	B1	20050831			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY					
NZ 516260	A	20040827	NZ 2000-516260		20000630
AT 303387	T	20050915	AT 2000-940909		20000630
PT 1221444	T	20051130	PT 2000-940909		20000630
ES 2246867	T3	20060301	ES 2000-940909		20000630
US 6841549	B1	20050111	US 2001-18688		20011220
PRIORITY APPLN. INFO.:			JP 1999-188484	A	19990702
			JP 2000-143495	A	20000516

JP 2000-182786 A 20000619

WO 2000-JP4358 W 20000630

OTHER SOURCE(S): MARPAT 134:100881
GI



I

AB Novel fused imidazole compds. such as purine derivs. of general formula (I), pharmacol. acceptable salts thereof, or hydrates of both [wherein R1 = H, OH, halo, (un)substituted C1-8 alkyl, (un)substituted NH2; R2 = H, halo, (un)substituted NH2, (un)substituted C2-8 alkenyl, (un)substituted C3-8 alkynyl, (un)substituted C1-8 alkyl; R3 = (un)substituted C3-8 alkynyl, C3-8 alkenyl, (un)substituted C1-8 alkyl, (un)substituted aryl, (un)substituted heteroaryl, etc.; Ar = (un)substituted aryl, (un)substituted heteroaryl, optionally halo- or C1-6 alkyl-substituted N-C1-6 alkyl- or N-C3-6 cycloalkyl-oxopyridyl or -oxopyrimidyl; Q, W = N, CH; some proviso are given] are prepared These compds. exhibit adenosine A2 receptor antagonism and are effective in the prevention and treatment of diabetes mellitus and complications of diabetes. Thus, 5-[6-amino-8-(3-fluorophenyl)-9H- purin-9-yl]-1,2-dihydro-2-pyridinone was condensed with N,N-dimethylformamide di-Me acetal in DMF at room temperature for 1 h, ice-cooled, treated with NaH at 0-6° for 30 min, and methylated by Me iodide at room temperature for 16 h to give 5-[6-amino-8-(3- fluorophenyl)-9H-purin-9-yl]-1-methyl-1,2-dihydro-2-pyridinone (II). II.HCl at 10 mg/kg p.o. in spontaneously diabetic mice lowered the blood sugar level to 47.3±7.2% of the control animal.

REFERENCE COUNT: 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L29 ANSWER 7 OF 12 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2001:78363 CAPLUS Full-text
DOCUMENT NUMBER: 134:147614
TITLE: Preparation of N,N'-biarylurea derivatives as inhibitors of cyclin-dependent kinases (Cdk4 and Cdk6)
INVENTOR(S): Hayama, Takashi; Hayashi, Kyoko; Honma, Mitsutaka; Takahashi, Ikuko
PATENT ASSIGNEE(S): Banyu Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 460 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001007411	A1	20010201	WO 2000-JP4991	20000726

W: AE, AG, AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CR, CU,

CZ, DM, DZ, EE, GD, GE, HR, HU, ID, IL, IN, IS, KG, KR, KZ,
LC, LK, LR, LT, LV, MA, MD, MG, MK, MN, MX, MZ, NO, NZ, PL,
RO, RU, SG, SI, SK, TJ, TM, TR, TT, UA, US, UZ, VN, YU, ZA
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH,
CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE,
BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

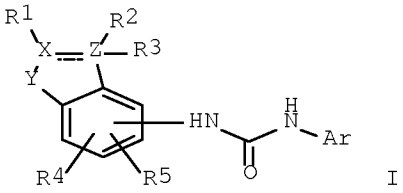
CA 2380389	A1	20010201	CA 2000-2380389	20000726
JP 2001106673	A	20010417	JP 2000-274175	20000726
EP 1199306	A1	20020424	EP 2000-949909	20000726
EP 1199306	B1	20051207		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
EP 1557168	A2	20050727	EP 2005-101402	20000726
EP 1557168	A3	20070523		
R: DE, ES, FR, GB, IT				
ES 2251395	T3	20060501	ES 2000-949909	20000726
US 6958333	B1	20051025	US 2002-31795	20020402
US 20070027147	A1	20070201	US 2004-2422	20041203
US 7354946	B2	20080408		

PRIORITY APPLN. INFO.:

JP 1999-211384	A	19990726
EP 2000-949909	A3	20000726
WO 2000-JP4991	W	20000726
US 2002-31795	A3	20020402

OTHER SOURCE(S): MARPAT 134:147614

GI



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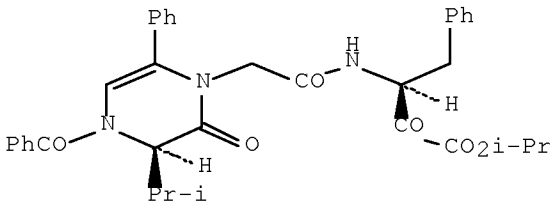


II

AB N-(hetero)aryl-N'-heterocyclylurea derivs. represented by general formula (I) [wherein Ar represents a nitrogenous heterocyclic aromatic group such as (un)substituted pyridyl, pyrimidinyl, pyrazinyl, pyridazinyl, thiazolyl, isothiazolyl, oxazolyl, isoxazolyl, pyrazolyl, pyrrolyl, imidazolyl, indolyl, isoindolyl, quinolyl, isoquinolyl, benzothiazolyl, or benzoxazolyl; X and Z each represents C or N or together with R1 or R2 and/or R3 represent CH or N; Y represents CO, SO, or SO2; R1 represents hydrogen, (un)substituted lower alkyl, Y3-W2-Y4-R5, etc.; wherein R5 = H, (un)substituted lower alkyl, lower alkenyl, lower alkynyl, lower cycloalkyl, aryl, imidazolyl, isoxazolyl, isoquinolyl, isoindolyl, indazolyl, indolyl, indolidinyl , isothiazolyl,

ethylenedioxyphenyl, oxazolyl, pyridyl, pyrazinyl, pyrimidinyl, pyridazinyl, pyrazolyl, quinoxalinyl, quinolyl, etc.; W2 = ingle bond, O, S, SO, SO2, N-(un)substituted NH, SO2NH, NHSO2NH, NHSO2, CONH, NHCO, NHCONH, NHCO2, etc.; Y3, Y4 = single bond, linear or branched lower alkylene; R2 and R3 each represents hydrogen, lower alkyl or alkoxy, or Y3-W2-Y4-R5 (Y3, W2, Y4, R5 = same as above), or one of R2 and R3 together with R1 and X forms cyclohexane, cyclopentane, piperidine, 3,4,5,6-tetrahydro-1,3-oxazine, tetrahydrothiopyran, pyrrolidine, tetrahydrothiofuran, oxazolidine ring, etc.; R4 and R5 represent H, halo, OH, amino, or Y3-W2-Y4-R5 (Y3, W2, Y4, R5 = same as above)] or salts thereof are prepared The compds. (e.g. II) have a remarkable proliferation-inhibitory effect on tumor cells. A Cdk4 and/or Cdk6 inhibitor for use in the therapy of malignant tumor can hence be provided. II showed IC50 of 0.061 and 0.019 μM against cyclin-D1-Cdk4 and cyclin-D2-Cdk4, resp., vs. 0.36 and 0.056 μM, resp., for (±)-flavopiridol, and inhibited the proliferation of HCT116 and MKN-1 cells with IC50 of 0.013 and 0.10 μM, resp., vs. 0.15 and 0.87 μM, resp., for (±)-flavopiridol. Pharmaceutical formulations containing I were prepared

REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

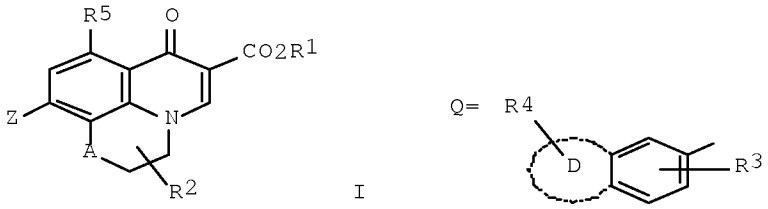
L29 ANSWER 8 OF 12 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2000:553577 CAPLUS Full-text
DOCUMENT NUMBER: 133:150565
TITLE: Preparation of tricyclic quinolonecarboxylic acid derivatives or salts thereof as antibacterial agents
INVENTOR(S): Hayashi, Kazuya; Shimizu, Shigeyuki; Mitsuyama, Junichi
PATENT ASSIGNEE(S): Toyama Chemical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 58 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000046223	A1	20000810	WO 2000-JP589	20000203

W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: JP 1999-28916 A 19990205

OTHER SOURCE(S): MARPAT 133:150565
GI



I

AB Tricyclic quinolonecarboxylic acid derivs. represented by general formula (I) or salts thereof [wherein R1 = H, carboxy-protective group; R5 = H, halo, (un)substituted alkyl, alkoxy, or alkylthio, (un)protected HO or NH2, NO2; R2 = H, alkyl, haloalkyl, (un)protected hydroxyalkyl, alkylidene, group forming a cycloalkane ring together with the bonded carbon atom; A = CH2, O, S, SO, SO2, optionally alkyl-substituted NH; Z = (un)substituted pyridin-3-yl or pyridin-4-yl, Q; wherein ring D = 5- or 6-membered heterocyclic or hydrocarbon ring; R3 = H, halo, (un)substituted alkyl, cycloalkyl, aryl, alkoxy, or alkylthio, NO2, cyano, acyl, etc.; R4 = H, halo, (un)substituted alkyl, (un)substituted alkyl, alkenyl, cycloalkyl, aralkyl, aryl, alkoxy, or alkylthio, (un)substituted OH or NH2, etc.] are prepared These compds. are useful as remedies for skin infectious diseases, etc., showing a potent antibacterial effect on gram-pos. and gram-neg. bacteria such as Propionibacterium acnes, quinolone-tolerant Staphylococcus aureus and atypical mycobacteria, in particular, quinolone-tolerant Staphylococcus aureus and having a high safety. Thus, coupling of Et (3S)-10-bromo-3-methyl-7-oxo-2,3-dihydro-7H-1,4-oxazino[2,3,4-ij]quinoline-6-carboxylate with 8-fluoro-6-(tributylstannyl)quinoline in the presence of bis(triphenylphosphine)palladium(II) chloride in PhMe under reflux for 4 h followed by saponification with NaOH in aqueous NaOH at 40° for 1 h and acidification with dilute AcOH gave (3S)-10-(8-fluoro-6-quinolyl)-3- methyl-7-oxo-2,3-dihydro-7H-1,4-oxazino[2,3,4-ij]quinoline-6- carboxylic acid (II). II showed min. inhibitory concentration of 0.0156 and 0.25 μg/mL against P. acnes JCM6425 and S. aureus CRCP-9, resp.

REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L29 ANSWER 9 OF 12 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1999:297414 CAPLUS Full-text
DOCUMENT NUMBER: 130:311706
TITLE: Processes for producing 7-isoindolinequinolonecarboxylic derivatives as antibacterial agents and intermediates therefor, salts of 7-isoindolinequinolonecarboxylic acids, hydrates thereof, and composition containing the same as active ingredient
INVENTOR(S): Yamada, Minoru; Hamamoto, Shoichi; Hayashi, Kazuya; Takaoka, Kazuko; Matsukura, Hiroko; Yotsuji, Minako; Yonezawa, Kenji; Ojima, Katsuji; Takamatsu, Tamotsu; Taya, Kyoko; Yamamoto, Hirohiko; Kiyoto, Taro; Kotsubo, Hironori
PATENT ASSIGNEE(S): Toyama Chemical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 89 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 9921849	A1	19990506	WO 1998-JP4854		19981027
W: AU, CA, CN, HU, IL, KR, NO, NZ, US					
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE					
IN 1998CA01891	A	20050311	IN 1998-CA1891		19981023
JP 11269179	A	19991005	JP 1998-303120		19981026
ZA 9809775	A	19990503	ZA 1998-9775		19981027
CA 2307824	A1	19990506	CA 1998-2307824		19981027
CA 2307824	C	20080219			
CA 2568251	A1	19990506	CA 1998-2568251		19981027
CA 2593381	A1	19990506	CA 1998-2593381		19981027
AU 9896486	A	19990517	AU 1998-96486		19981027
AU 750760	B2	20020725			
EP 1031569	A1	20000830	EP 1998-950405		19981027
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI					
HU 2001001766	A2	20011228	HU 2001-1766		19981027
HU 2001001766	A3	20021028			
NZ 504084	A	20030228	NZ 1998-504084		19981027
TW 593308	B	20040621	TW 1998-87117800		19981027
CN 1515555	A	20040728	CN 2003-2003160379		19981027
CN 1616455	A	20050518	CN 2004-10079720		19981027
TW 242012	B	20051021	TW 2004-93113303		19981027
JP 11322743	A	19991124	JP 1999-72875		19990318
JP 3281872	B2	20020513			
JP 2000026460	A	20000125	JP 1999-126503		19990507
JP 2000143631	A	20000526	JP 1999-242494		19990830
JP 2000143626	A	20000526	JP 1999-252278		19990906
NO 2000002125	A	20000607	NO 2000-2125		20000426
NO 318813	B1	20050509			
US 6337399	B1	20020108	US 2000-529407		20000426
HK 1030779	A1	20051125	HK 2001-101736		20010312
US 20020049328	A1	20020425	US 2001-961364		20010925
US 6482835	B2	20021119			
US 20050203301	A1	20050915	US 2002-209078		20020801
NO 2004002109	A	20000607	NO 2004-2109		20040521
US 20070225506	A1	20070927	US 2007-691740		20070327
US 7371868	B2	20080513			
IN 2008KO00130	A	20080815	IN 2008-KO130		20080118
PRIORITY APPLN. INFO.:			JP 1997-311376	A	19971027
			JP 1998-92807	A	19980320
			JP 1998-140586	A	19980507
			JP 1998-244828	A	19980831
			JP 1998-253656	A	19980908
			IN 1998-CA1891	A3	19981023
			CA 1998-2307824	A3	19981027
			WO 1998-JP4854	W	19981027

US 2000-529407 A3 20000426

US 2001-961364 A3 20010925

US 2002-209078 A3 20020801

OTHER SOURCE(S): CASREACT 130:311706; MARPAT 130:311706
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Claimed are processes for producing 7-isoindolinequinolonecarboxy lic derivs. useful as antibacterials (no data) and represented by general formula [I; R1 = hydrogen or a carboxyl-protecting group; R2 = (un)substituted alkyl, alkenyl, cycloalkyl, aryl, or heterocyclyl; R3 = at least one member selected among hydrogen, halogen, (un)substituted alkyl, alkenyl, cycloalkyl, aryl, alkoxy, or alkylthio, NO2, cyano, acyl, or (un)protected HO or NH2; R4 = at least one member selected among hydrogen, (un)substituted alkyl, alkenyl, cycloalkyl, aralkyl, aryl, alkoxy, or alkylthio, (un)substituted HO, imino, or amino, alkylidene, oxo, or cycloalkane ring formed together with carbon atom attached to R4; R5 = hydrogen, amino-protecting group, (un)substituted alkyl, cycloalkyl, alkylsulfonyl, arylsulfonyl, acyl, or aryl; R6 = hydrogen, halogen, (un)substituted alkyl, alkoxy, or alkylthio, (un)protected HO or NH2, or NO2; A = CH or C-R7, wherein R7 = halogen, (un)substituted alkyl, alkoxy, or alkylthio, (un)protected HO] and intermediates therefor. Also claimed are salts of 7-isoindolinequinolonecarboxylic derivs. represented by formula I and hydrates thereof and compns. containing the same as the active ingredient. I are prepared by coupling of isoindoline -5-boronic acid derivs. [II; R8, R9 = H or lower alkyl, or R8 and R9 together form a B-containing ring; R3-R5 = same as above] with quinolonecarboxylic acid derivs. (III; X2 = leaving group; R1, R2, R6, A = same as above). Thus, 1.02 g Et3N, 1,1'-bis(diphenylphosphino)ferrocene palladium(II) chloride, and 650 mg 4,4,5,5-tetramethyl-1,3,2-dioxaborolane were added to a solution of (R)-5-bromo-2-(2,2-dimethylpropanoyl)-1-methylisoindoline and refluxed fro 2 h to give 5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)isoindoline derivative (IV). To a solution of 2.5 g IV in 15 mL ethanol were added 2.8 g Et 7-bromo-1-cyclopropyl-8-(difluoromethoxy)-1,4-dihydro-4-oxoquinoline-3-carboxylate and 1.1 g Na2CO3, followed by adding 150 mg 10% Pd-C, and the resulting mixture was heated to refluxed for 3 h to give the title compound (V; R1 = Et, R5 = Boc) (3.6 g) which was converted into V (R1 = R5 = H).MeSO3H (VI). The solubility of VI in H2O was 16,510 μg/mL. A tablet and an injection formulation containing VI monohydrate were described.

REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L29 ANSWER 10 OF 12 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1999:126880 CAPLUS Full-text
DOCUMENT NUMBER: 130:182367
TITLE: Preparation of quinolonecarboxylic acid and 1,8-naphthyridinecarboxylic acid derivatives or salts thereof as antibacterial agents
INVENTOR(S): Hayashi, Kazuya; Yamashiro, Yoshiko;

Taya, Kyoko; Fukuyama, Hiroko; Todo, Yozo
PATENT ASSIGNEE(S): Toyama Chemical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 73 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9907682	A1	19990218	WO 1998-JP3529	19980807
W: BR, CA, JP, KR, US				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				

PRIORITY APPLN. INFO.: JP 1997-227619 A 19970808

OTHER SOURCE(S): MARPAT 130:182367
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Quinolonecarboxylic acid derivs. represented by general formula [I; R1 = H, CO2H-protecting group; R2 = (un)substituted alkyl, alkenyl, cycloalkyl, aryl, or heterocyclyl; R5 = H, halo, (un)substituted alkyl, alkoxy, or alkylthio, (un)protected OH or NH2, NO2; A = N, CR6; wherein R6 = H, halo, (un)substituted alkyl, alkoxy, or alkylthio, (un)protected HO; Z = Q, Q1; wherein ring D = 5- or 6-membered heterocyclyl or cyclohydrocarbyl; R3 = H, halo, (un)substituted alkyl, alkenyl, cycloalkyl, aryl, alkoxy, or alkylthio, NO2, cyano, acyl, (un)protected OH or NH2; R4 = H, halo, (un)substituted alkyl, alkenyl, cycloalkyl, aralkyl, aryl, alkoxy, or alkylthio, (un)substituted OH or NH2; or R4 together with its attached carbon atoms forms a cycloalkane ring] or salts thereof are prepared and exhibit potent antimicrobial effects on gram-pos. and gram-neg. bacteria, in particular, methicillin-resistant Staphylococcus aureus (MRSA) and have high safety, which makes them useful as remedies for various infectious diseases. Thus, 7-bromo-1-cyclopropyl-8-methyl-4-oxo-1,4-dihydro-3- quinolinecarboxylic acid Et ester was coupled with 6-(1,1,1-tributylstannyl)quinoline in the presence of bis(triphenylphosphine)palladium(II) chloride in toluene under reflux for 3 h, followed by saponification to give the title compound, quinolylquinolinecarboxylic acid derivative (II). II showed min. inhibitory concentration of ≤0.006 μg/mL against Staphylococcus aureus FDA209P, β-lactamase-producing S. aureus F-137, and methicillin-resistant S. aureus F-597.
REFERENCE COUNT: 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L29 ANSWER 11 OF 12 WPIX COPYRIGHT 2008 THOMSON REUTERS on STN
DUPLICATE 4
ACCESSION NUMBER: 1998-082623 [08] WPIX
DOC. NO. CPI: C1998-027829 [08]
TITLE: Preparation of sulphonamide derivatives - comprises reacting amine hydrochloride with sulphonyl chloride derivative, useful as pharmaceuticals
DERWENT CLASS: B02

INVENTOR: AKAMATSU H; IKUTA H; SHIMOMURA N; YAMATO T
PATENT ASSIGNEE: (EISA-C) EISAI CO LTD; (EISA-C) EISAI R & D MANAGEMENT CO LTD
COUNTRY COUNT: 1

PATENT INFO ABBR.:

PATENT NO	KIND	DATE	WEEK	LA	PG	MAIN IPC
JP 09316053	A	19971209	(199808)*	JA	6[0]	
JP 3868534	B2	20070117	(200707)	JA	11	

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE
JP 09316053 A		JP 1996-129447	19960524
JP 3868534 B2		JP 1996-129447	19960524

FILING DETAILS:

PATENT NO	KIND		PATENT NO	
JP 3868534	B2	Previous Publ	JP 9316053	A

PRIORITY APPLN. INFO: JP 1996-129447 19960524
AN 1998-082623 [08] WPIX
AB JP 09316053 A UPAB: 20060113
Preparation of sulphonamide derivatives of formula (II) comprises reacting an amine hydrochloride of formula (I) with a sulphonyl chloride derivative of formula RSO2Cl (IV). X = halo; and R = optionally substituted aromatic or heterocyclic ring.
USE - (II) are useful as pharmaceuticals.
ADVANTAGE - The method is industrially advantageous.

L29 ANSWER 12 OF 12 JAPIO (C) 2008 JPO on STN
ACCESSION NUMBER: 2008-031042 JAPIO Full-text
TITLE: METHOD FOR PRODUCING N-(3-CHLORO-1H-INDOL-7-YL)-4-SULFAMOYLBENZENESULFONAMIDE
INVENTOR: IKUTA HIRONORI; SHIMOMURA NAOYUKI; AKAMATSU HIROSHI
PATENT ASSIGNEE(S): EISAI CO LTD
PATENT INFORMATION:

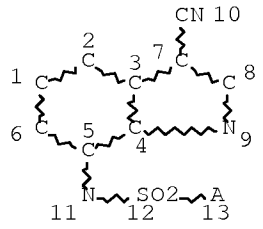
PATENT NO	KIND	DATE	ERA	MAIN IPC
JP 2008031042	A	20080214	Heisei	

APPLICATION INFORMATION
STN FORMAT: JP 2004-332758 20041117
ORIGINAL: JP2004332758 Heisei
PRIORITY APPLN. INFO.: JP 2004-332758 20041117
SOURCE: PATENT ABSTRACTS OF JAPAN (CD-ROM), Unexamined Applications, Vol. 2008
AN 2008-031042 JAPIO Full-text
AB PROBLEM TO BE SOLVED: To provide a method for producing 3-chloro-7-nitroindole (II) and N-(3-chloro-1H-indol -7-yl)-4-sulfamoylbenzene sulfonamide (VI) in good efficiency. SOLUTION: The method for producing N-(3-

chloro-1H- indol-7-yl)-4-sulfamoylbenzenesulfonamide (VI) having a high purity comprises chlorinating 7-nitroindole with N-chlorosuccinimide in a hydrous solvent to produce 3-chloro-7- nitroindole (II) and reducing the compound (II) to obtain 3-chloro-7-aminoindole or its hydrochloride and reacting the 3-chloro-7-aminoindole or its hydrochloride in the presence of a base with 4-sulfamoylbenzenesulfonyl chloride synthesized from 4-aminobenzenesulfonamide.

FILE 'HOME' ENTERED AT 12:13:46 ON 05 SEP 2008

L1 STR



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CONNECT IS X2 RC AT 6
CONNECT IS X2 RC AT 8
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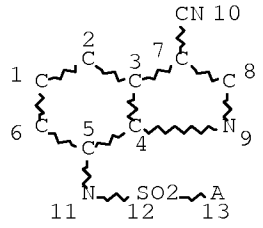
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 13

STEREO ATTRIBUTES: NONE
L2 37 SEA FILE=REGISTRY SSS FUL L1

L1 STR



NODE ATTRIBUTES:
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CONNECT IS X2 RC AT 6
CONNECT IS X2 RC AT 8
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

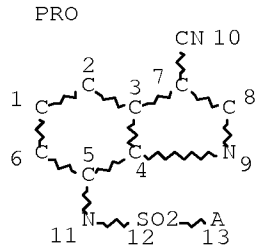
GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 13

STEREO ATTRIBUTES: NONE

ATTRIBUTES SPECIFIED AT SEARCH-TIME:
ECLEVEL IS LIM ON ALL NODES
ALL RING(S) ARE ISOLATED

L8 37 SEA FILE=MARPAT SSS FUL L1 (MODIFIED ATTRIBUTES)

L14 STR



NODE ATTRIBUTES:
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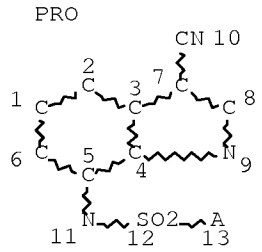
CONNECT IS X2 RC AT 6
CONNECT IS X2 RC AT 8
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 13

STEREO ATTRIBUTES: NONE
L16 1 SEA FILE=CASREACT SSS FUL L14 (3 REACTIONS)

L14 STR



NODE ATTRIBUTES:
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DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 13

STEREO ATTRIBUTES: NONE
L16 1 SEA FILE=CASREACT SSS FUL L14 (3 REACTIONS)
L17 1 SEA L16

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ACT R571/A

L1 STR
L2 37 SEA SSS FUL L1

D QUE STAT

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L3           11 SEA ABB=ON  PLU=ON  L2/P
                D 1-11 IBIB ABS HITSTR

     FILE 'CAOLD' ENTERED AT 11:53:29 ON 05 SEP 2008
L4            0 SEA ABB=ON  PLU=ON  L2

     FILE 'MEDLINE, BIOSIS, EMBASE' ENTERED AT 11:53:40 ON 05 SEP 2008
L5            4 SEA ABB=ON  PLU=ON  L2
L6            4 DUP REM L5 (0 DUPLICATES REMOVED)
                D 1-4 IBIB ABS

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L7            5 SEA SSS SAM L1 (MODIFIED ATTRIBUTES)
L8           37 SEA SSS FUL L1 (MODIFIED ATTRIBUTES)
                D QUE STAT

     FILE 'CAPLUS' ENTERED AT 11:55:15 ON 05 SEP 2008
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L11          18 SEA ABB=ON  PLU=ON  L10 AND (PY<2003 OR AY<2003 OR
                PRY<2003)
L12          10 SEA ABB=ON  PLU=ON  L11 AND (PREP OR SPN OR BPN OR IMF OR
                BMF OR RACT OR RCT OR RGT)/RL

     FILE 'MARPAT' ENTERED AT 11:57:32 ON 05 SEP 2008
L13          10 SEA ABB=ON  PLU=ON  L12
                D 1-10

     FILE 'CASREACT' ENTERED AT 11:57:59 ON 05 SEP 2008
L14             STR L1
L15           0 SEA SSS SAM L14 (     0 REACTIONS)
L16           1 SEA SSS FUL L14 (     3 REACTIONS)
                D QUE STAT
                D IBIB ABS FHIT

     FILE 'DJSMDS, CHEMINFORMRX' ENTERED AT 11:58:55 ON 05 SEP 2008
L17           1 SEA ABB=ON  PLU=ON  L16
                D QUE STAT
                D BIB AB FHIT

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L19       48513 SEA ABB=ON  PLU=ON  ("ABE T"? OR "TAICHI A"?)/AU
L20         564 SEA ABB=ON  PLU=ON  ("OZEKI N"? OR "NAOKI O"?)/AU
L21        2602 SEA ABB=ON  PLU=ON  ("HIROSHI A"? OR "AKAMATSU H"?)/AU
L22           2 SEA ABB=ON  PLU=ON  L18 AND L19 AND L20 AND L21
L23         126 SEA ABB=ON  PLU=ON  L18 AND ((L19 OR L20 OR L21))
L24          22 SEA ABB=ON  PLU=ON  L19 AND (L20 OR L21)
L25           5 SEA ABB=ON  PLU=ON  L20 AND L21
L26         252 SEA ABB=ON  PLU=ON  ((L18 OR L19 OR L20 OR L21) OR (L23 OR
                L24)) AND (?SULFON? OR ?SULPHON?)(10A)(PREP? OR MANUF? OR
                PRODUCTION OR PRODUCE# OR PRODUCING)
L27          13 SEA ABB=ON  PLU=ON  L26 AND ?INDOL?
L28          16 SEA ABB=ON  PLU=ON  L22 OR L25 OR L27
L29          12 DUP REM L28 (4 DUPLICATES REMOVED)
                D 1-12 IBIB ABS
```

FILE 'HOME' ENTERED AT 12:13:46 ON 05 SEP 2008
D QUE L2
D QUE L8
D QUE L16
D QUE L17

FILE REGISTRY
Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 4 SEP 2008 HIGHEST RN 1046534-52-4
DICTIONARY FILE UPDATES: 4 SEP 2008 HIGHEST RN 1046534-52-4

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH July 5, 2008.

Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

FILE CAPLUS

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FILE COVERS 1907 - 5 Sep 2008 VOL 149 ISS 11
FILE LAST UPDATED: 4 Sep 2008 (20080904/ED)

Caplus now includes complete International Patent Classification (IPC) reclassification data for the second quarter of 2008.

Effective October 17, 2005, revised CAS Information Use Policies apply They are available for your review at:

http://www.cas.org/legal/infopolicy.html

FILE CAOLD
FILE COVERS 1907-1966
FILE LAST UPDATED: 01 May 1997 (19970501/UP)

This file contains CAS Registry Numbers for easy and accurate substance identification. Title keywords, authors, patent assignees, and patent information, e.g., patent numbers, are now searchable from 1907-1966. TIFF images of CA abstracts printed between 1907-1966 are available in the PAGE

display formats.

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This file supports REG1stRY for direct browsing and searching of all substance data from the REGISTRY file. Enter HELP FIRST for more information.

CAOLD will be discontinued and removed from associated database clusters.

. November 22, 2008 - removed from database clusters
. December 31, 2008 - removed from STN

Content previously available only in CAOLD is now available in CA/CAplus. To learn more about the options available for transferring saved search queries and answer sets to CA/CAplus, contact your STN Service Center.

FILE MEDLINE
FILE LAST UPDATED: 4 Sep 2008 (20080904/UP). FILE COVERS 1949 TO DAT

MEDLINE has been updated with the National Library of Medicine's revised 2008 MeSH terms. See HELP RLOAD for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

See HELP RANGE before carrying out any RANGE search.

MEDLINE Accession Numbers (ANs) for records from 1950-1977 have been converted from 8 to 10 digits. Searches using an 8 or 10 digit AN will retrieve the same record. The 10-digit ANs can be expanded, searched, and displayed in all records from 1949 to the present.

FILE BIOSIS
FILE COVERS 1926 TO DATE.
CAS REGISTRY NUMBERS AND CHEMICAL NAMES (CNs) PRESENT
FROM JANUARY 1926 TO DATE.

RECORDS LAST ADDED: 3 September 2008 (20080903/ED)

BIOSIS has been augmented with 1.8 million archival records from 1926 through 1968. These records have been re-indexed to match current BIOSIS indexing.

FILE EMBASE
FILE COVERS 1974 TO 5 Sep 2008 (20080905/ED)

EMBASE was reloaded on March 30, 2008.
EMBASE is now updated daily. SDI frequency remains weekly (default) and biweekly.
This file contains CAS Registry Numbers for easy and accurate substance identification.
Beginning January 2008, Elsevier will no longer provide EMTREE codes as part of the EMTREE thesaurus in EMBASE. Please update your current-awareness alerts (SDIs) if they contain EMTREE codes.

For further assistance, please contact your local helpdesk.

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FILE MARPAT
FILE CONTENT: 1961-PRESENT VOL 149 ISS 9 (20080829/ED)

SOME MARPAT RECORDS ARE DERIVED FROM INPI DATA FOR 1961-1987

MOST RECENT CITATIONS FOR PATENTS FROM MAJOR ISSUING AGENCIES
(COVERAGE TO THESE DATES IS NOT COMPLETE):

US  20080177068 24 JUL 2008
DE 202007007143 17 JUL 2008
EP      1944010 16 JUL 2008
JP   2008162998 17 JUL 2008
WO   2008089052 24 JUL 2008
GB      2444641 11 JUN 2008
FR      2911143 11 JUL 2008
RU      2330029 27 JUL 2008
CA      2615024 14 JUN 2008

Expanded G-group definition display now available.

Effective December 15th the iteration and answer limits in MARPAT
have increased from 100,000 to 200,000 for both on-line and batch
searches.  For more information on MARPAT search limits, type HELP
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FILE DJSMDS
FILE LAST UPDATED:          21 MAY 2008      <20080521/UP>

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>>> GRAPHIC IMAGES OF THE PRINTED DERWENT JOURNAL OF SYNTHETIC
     METHODS ARE AVAILABLE FROM 1975 TO 2007 <<<
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REACTION SITES <<<

FILE CHEMINFORMRX
FILE LAST UPDATED: 9 JUN 2008 <20080609/UP>

>>> CAS Registry Numbers are available for
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FILE WPIX
FILE LAST UPDATED: 3 SEP 2008 <20080903/UP>
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20071130/UPIC, 20080401/UPIC and 20080701/UPIC.
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FILE JAPIO
FILE LAST UPDATED: 20 AUG 2008 <20080820/UP>
MOST RECENT PUBLICATION DATE: 24 APR 2008 <20080424/PD>
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FILE PASCAL
FILE LAST UPDATED: 1 SEP 2008 <20080901/UP>
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